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PUBLISHED INTERNATIONAL APPLICATION

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- (22) 03 March 2000 (03.03.2000)
- (25) ENG

- (26)**ENG**
- (31) 60/123,013
- 05 March 1999 (32)

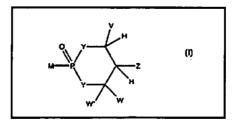
(33)US -

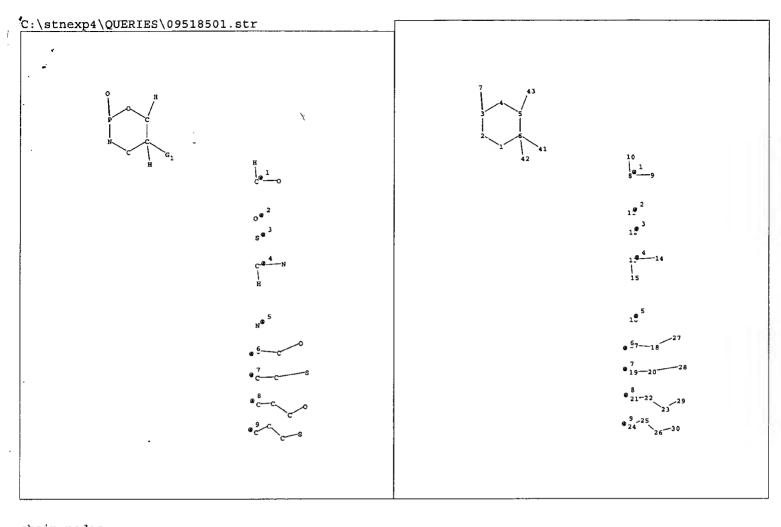
- (05.03.1999)
- (33)US

- (31) 60/153,127
- (32)08 September 1999
 - (08.09.1999)
- (43) 08 September 2000 (08.09.2000)
- (51)7 C07F 9/02
- (54) NOVEL PHOSPHORUS-CONTAINING PRODRUGS
- (71) METABASIS THERAPEUTICS, INC. 9390 Towne Centre Drive, San Diego, CA 92121; (US). [US/US].
- (72) *ERION*, Mark, D. 13455 Mango Drive, Del Mar, CA 92104; (US). **REDDY, K., Raja** 4146 Federman Lane, San Diego, CA 92130; (US). BOYER, Serge, H. 5112 Castle Hils Drive, San Diego, CA 92109; (US).
- (74) WOLFF, Jessica, R. Brobeck, Phleger & Harrison, 550 West C Street, Suite 1300, San Diego, CA 92101; (US).
- (81) AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW; AP (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW); EA (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM); EP (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE); OA (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG)

Abstract

Novel cyclic phosphoramidate prodrugs of drugs of formula (I), their use in delivery of drugs to the liver, their use in enhancing oral bioavailability, and their method of preparation are described.





```
chain nodes :
   7 10 15 27 41 42 43
ring nodes :
ring/chain nodes :
   8 9 11 12 13 14 16 17 18 19 20 21 22 23 24 25 26 28 29 30
chain bonds :
   3-7 5-43 6-41 6-42 8-10 13-14 13-15 18-27
ring/chain bonds :
   8-9 17-18 19-20 20-28 21-22 22-23 23-29 24-25 25-26 26-30
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-2 1-6 2-3 3-4 3-7 4-5 5-6 6-41 8-9 13-14 17-18 18-27 19-20 20-28 21-22
   22-23 23-29 24-25 25-26 26-30
exact bonds :
   5-43 6-42 8-10 13-15
G1: [*1], [*2], [*3], [*4], [*5], [*6], [*7], [*8], [*9]
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 41:CLASS 42:CLASS 43:CLASS

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                 and USPATFULL
                 LIPINSKI/CALC added for property searching in REGISTRY
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instead.
                 "Ask CAS" for self-help around the clock
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                 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 13 Apr 09
NEWS 14 Apr 09
                 ZDB will be removed from STN
                US Patent Applications available in IFICDB, IFIPAT, and
NEWS 15 Apr 19
IFIUDB
NEWS 16 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and
ZCAPLUS
NEWS 17 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 19 Jun 03 New e-mail delivery for search results now available
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SAMPLE SEARCH INITIATED 11:27:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 2 ANSWERS SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2002 ACS

IN 2,4(1H,3H)-Pyrimidinedione, 1-[[[2-[bis(2-chloroethy1)amino]tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-5-yl]oxy]methyl]- (9CI)

MF C12 H19 Cl2 N4 O5 P

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full FULL SEARCH INITIATED 11:28:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 153 TO ITERATE

100.0% PROCESSED 153 ITERATIONS

33 ANSWERS

SEARCH TIME: 00.00.03

L3 33 SEA SSS FUL L1

=> d 1-33 ide cbib pi

L3 ANSWER 1 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 209266-78-4 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 3-(2-chloroethyl)-2-[(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:75953 Effects of phenobarbital on stereoselective metabolism of ifosfamide in rats. Lu, Hong; Wang, Jeff J.; Chan, Kenneth K.; Young, Donn (Colleges of Pharmacy and Medicine, Comprehensive Cancer Center, The Ohio State University, Columbus, OH, 43210, USA). Drug Metabolism and Disposition, 26(5), 476-482 (English) 1998. CODEN: DMDSAI.

ISSN: 0090-9556. Publisher: Williams & Wilkins.

L3 ANSWER 2 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 209266-77-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 3-(2-chloroethyl)-2-[(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:75953 Effects of phenobarbital on stereoselective metabolism of ifosfamide in rats. Lu, Hong; Wang, Jeff J.; Chan, Kenneth K.; Young, Donn (Colleges of Pharmacy and Medicine, Comprehensive Cancer Center, The Ohio State University, Columbus, OH, 43210, USA). Drug Metabolism and Disposition, 26(5), 476-482 (English) 1998. CODEN: DMDSAI.

ISSN: 0090-9556. Publisher: Williams & Wilkins.

ANSWER 3 OF 33 REGISTRY COPYRIGHT 2002 ACS L3

RN 180600-07-1 REGISTRY

8-0xa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[3-CN (hydroxymethyl) phenyl] methyl] -3,6-bis (phenylmethyl) -, 1-oxide, [1S-(3-endo, 4-endo, 6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

C34 H37 N2 O5 P MF

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE ----

PΙ US 5543517 Α 19960806 US 1994~181117 19940113 L3 ANSWER 4 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-06-0 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[(4-hydroxyphenyl)methyl]-3,6-bis(phenylmethyl)-, 1-oxide,
[1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H33 N2 O5 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5543517 A 19960806 US 1994-181117 19940113

L3 ANSWER 5 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-05-9 REGISTRY

CN 8-0xa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[3-(methylamino) phenyl] methyl] -3,6-bis (phenylmethyl) -, 1-oxide, [1S-(3-endo, 4-endo, 6-exo)] - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H39 N4 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5543517 PΙ 19960806 Α US 1994-181117 19940113 L3 ANSWER 6 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-04-8 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis[[4-(hydroxymethyl)phenyl]methyl]-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H37 N2 O5 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

L3 ANSWER 7 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-03-7 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,3,6,7-tetrakis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H33 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)
- REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheeer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.
- REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5543517 A 19960806 US 1994-181117 19940113

L3 ANSWER 8 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-02-6 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-dibutyl-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H37 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5543517 A 19960806 US 1994-181117 19940113

L3 ANSWER 9 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-01-5 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis(2-naphthalenylmethyl)-3,6-bis(phenylmethyl)-, 1-oxide,

[1S-(3-endo, 4-endo, 6-

exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C40 H37 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.

REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113. PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5543517 A 19960806 US 1994-181117 19940113

L3 ANSWER 10 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 180600-00-4 REGISTRY

CN 8-Oxa-2,7-diaza-1-phosphabicyclo[3.2.1]octan-4-ol, 2,7-bis(cyclopropylmethyl)-3,6-bis(phenylmethyl)-, 1-oxide, [1S-(3-endo,4-endo,6-exo)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H33 N2 O3 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)
- REFERENCE 1: 126:131542 Novel bicyclic phosphordiamidate HIV protease inhibitors. Smallheer, Joanne M.; Seitz, Steven P. (The DuPont Merck Pharm. Co., Inc., Wilmington, DE, 19808, USA). Heterocycles, 43(11), 2367-2376 (English) 1996. CODEN: HTCYAM. ISSN: 0385-5414. Publisher: Japan Institute of Heterocyclic Chemistry.
- REFERENCE 2: 125:195699 Preparation of substituted bicyclic phosphoramides as retroviral protease inhibitors. Smallheer, Joanne M. (The Dupont Merck

Pharmaceutical Company, USA). U.S. US 5543517 A 19960806, 26 pp. (English). CODEN: USXXAM. APPLICATION: US 1994-181117 19940113.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5543517 A 19960806 US 1994-181117 19940113

L3 ANSWER 11 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 143492-72-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

L3 ANSWER 12 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 143442-21-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

L3 ANSWER 13 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-82-0 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 14 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-81-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.beta.,5.beta.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 15 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-80-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 16 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-79-5 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide, (2.alpha.,4.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 17 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-78-4 REGISTRY

CN Hydroperoxide,

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorin, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2H-1,3,2-oxazaphosphorin-4-yl, P-oxide, (2.alpha.,4.alpha.,5.beta.)-

MF C8 H17 Cl2 N2 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

HO-0 H
$$N$$
 P N-CH₂-CH₂Cl MeO CH₂-CH₂Cl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 18 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-77-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-48-4

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 19 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-76-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-51-9

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 20 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-75-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-47-3

MF C14 H21 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 21 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 136235-74-0 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 85880-50-8

MF C7 H15 Cl2 N2 O3 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L3 ANSWER 22 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 130278-00-1 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[[[2-[bis(2-chloroethyl)amino]tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-5-yl]oxy]methyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN 2,4(1H,3H)-Pyrimidinedione,

1-[[[2-[bis(2-chloroethyl)amino]tetrahydro-2H-

1,3,2-oxazaphosphorin-5-yl]oxy]methyl]-, P-oxide

CN 2H-1,3,2-Oxazaphosphorine, 2,4(1H,3H)-pyrimidinedione deriv.

FS 3D CONCORD

MF C12 H19 C12 N4 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT (*File contains numerically searchable property data)

$$\begin{array}{c|c} \text{C1CH}_2 - \text{CH}_2 \\ \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} \\ \\ \text{O} \end{array} \begin{array}{c} \text{H} \\ \text{N} \\ \\ \text{O} \end{array} \begin{array}{c} \text{H} \\ \text{N} \\ \\ \text{O} \end{array} \begin{array}{c} \text{H} \\ \text{N} \\ \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:212552 The syntheses of purine and pyrimidine secoribonucleosides. Acyclouridine derivative of cyclophosphamide. Zakerinia, Maryam; Davary, Hady; Hakimelahi, Gholam H. (Chem. Dep., Shiraz

Univ., Shiraz, Iran). Helv. Chim. Acta, 73(4), 912-15 (English) 1990. CODEN: HCACAV. ISSN: 0018-019X.

L3 ANSWER 23 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 105047-74-3 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-, 2-oxide (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C8 H17 Cl2 N2 O4 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 105:183425 Synthesis of reactive metabolite-analogs of cyclophosphamide for comparisons of NMR kinetic parameters and anticancer screening data. Ludeman, S. M.; Boyd, V. L.; Regan, J. B.; Gallo, K. A.; Zon, G.; Ishii, K. (Dep. Chem., Cathol. Univ. America, Washington, DC, 20064, USA). Drugs Exp. Clin. Res., 12(6-7), 527-32 (English) 1986. CODEN: DECRDP. ISSN: 0378-6501.

L3 ANSWER 24 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 105028-37-3 REGISTRY

CN Hydroperoxide,

2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2-oxido-2H-

1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorin, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-5-methoxy-2H-1,3,2-oxazaphosphorin-4-yl, P-oxide

FS 3D CONCORD

MF C8 H17 Cl2 N2 O5 P

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:77337 Fast-atom-bombardment mass spectra of 5-substituted-4-hydroperoxycyclophosphamides. Phillips, Lawrence R.; Zon.

Gerald; Bone, William M.; Boyd, Victoria L.; Ludeman, Susan M. (Cent. Biol. Eval. Res., FDA, Bethesda, MD, 20892, USA). Org. Mass Spectrom., 24(5), 347-9 (English) 1989. CODEN: ORMSBG. ISSN: 0030-493X.

REFERENCE 2: 105:183425 Synthesis of reactive metabolite-analogs of cyclophosphamide for comparisons of NMR kinetic parameters and anticancer screening data. Ludeman, S. M.; Boyd, V. L.; Regan, J. B.; Gallo, K. A.; Zon, G.; Ishii, K. (Dep. Chem., Cathol. Univ. America, Washington, DC, 20064, USA). Drugs Exp. Clin. Res., 12(6-7), 527-32 (English) 1986. CODEN: DECRDP. ISSN: 0378-6501.

L3 ANSWER 25 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 99623-69-5 REGISTRY

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-5-yl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3,2-Oxazaphosphorine, hydroperoxide deriv.

CN Hydroperoxide, 2-[bis(2-chloroethyl)amino]tetrahydro-2H-1,3,2oxazaphosphorin-5-yl-, P-oxide

FS 3D CONCORD

MF C7 H15 Cl2 N2 O4 P

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 3 REFERENCES IN FILE CA (1967 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:220908 Preferred conformations of cyclophosphamide: a theoretical study. Denny, Brian J.; Schwalbe, Carl H. (Pharm. Sci. Inst.,

Aston Univ., Birmingham, B4 7ET, UK). Pharm. Sci., 2(1), 29-32 (English) 1996. CODEN: PHSCFB. ISSN: 1356-6881.

REFERENCE 2: 109:47920 Changes in chemosensitivity of K 562 leukemia cells after induction of erythroid differentiation by hemin. Toffoli, Giuseppe;

Lorico, Aurelio; Boiocchi, Mauro (Cent. Riferimento Oncol., Pordenone, 33081, Italy). Tumori, 74(1), 11-17 (English) 1988. CODEN: TUMOAB. ISSN: 0300-8916.

REFERENCE 3: 104:28473 Scheduling effects of vinblastine containing 2-drug combinations on normal bone marrow and tumor progenitor cells. Hug, Verena; Spitzer, G.; Drewinko, B.; Johnston, D.; Thames, H.; Blumenschein,

G. (Med. Breast Serv., Anderson Hosp., Houston, TX, 77030, USA). Proc. Int. Congr. Chemother., 13th, Volume 18, 291/39-291/42. Editor(s): Spitzy, K. H.; Karrer, K. Verlag H. Egermann: Vienna, Austria. (English) 1983. CODEN: 53XPA8.

L3 ANSWER 26 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85880-46-2 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT,	BE, CH, DE	FR, GB, IT,	, LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A1	19831101	ES 1982-514852	19820809
	DK 8203647	A	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	Α	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 27 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85880-45-1 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 143396-65-0

MF C14 H21 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 2 REFERENCES IN FILE CA (1967 TO DATE)
- 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

REFERENCE 2: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

PATENT NO	. KIND	DATE	APPLICATION NO.	DATE
PI EP 72531	A1	19830223	EP 1982-107270	19820811
R: A'	Γ, BE, CH, DE	FR, GB,	IT, LI, LU, NL, SE	
DE 313222	l A1	19830519	DE 1981-3132221	19810814
ES 514852	A1	19831101	ES 1982-514852	19820809
DK 820364	7 A	19830215	DK 1982-3647	19820813
AU 828717) A1	19830217	AU 1982-87170	19820813
JP 580418	92 A2	19830311	JP 1982-139982	19820813
ZA 820587	5 A	19830629	ZA 1982-5876	19820813
HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 28 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-97-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(decyloxy)tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H35 Cl2 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{N} \\ \text{CH}_2\text{Cl} \\ \text{CH}_2\text{Cl} \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 A1 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PA'	TENT NO.		KIND	DATE		APPLICATION NO.	DATE
ΡI	FD.	72531		A1	19830223		EP 1982-107270	19820811
			BE,		, FR, GB,	ΙT,	LI, LU, NL, SE	13020011
	DΕ	3132221		A1	19830519		DE 1981-3132221	19810814
	ES	514852		A1	19831101		ES 1982-514852	19820809
	DK	8203647		Α	19830215		DK 1982-3647	19820813
	ΑU	8287170		A1	19830217		AU 1982-87170	19820813
	JP	58041892		A2	19830311		JP 1982-139982	19820813
	z_{A}	8205876		Α	19830629		ZA 1982-5876	19820813
	HU	27654		0	19831028		HU 1982-2614	19820813

L3 ANSWER 29 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-96-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(decyloxy)tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H35 Cl2 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT,	BE, CH, DE	E, FR, GB, 1	T, LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A1	19831101	ES 1982-514852	19820809
	DK 8203647	A	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	Α	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 30 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-95-7 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(hexadecyloxy)tetrahydro-, 2-oxide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H47 C12 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{O} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

PATEN'	r no. Kind	DATE	APPLICATION NO.	DATE
PI EP 725	531 A1	19830223	EP 1982-107270	19820811
R	: AT, BE, CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
DE 313	32221 A1	19830519	DE 1981-3132221	19810814
ES 514	4852 A1	19831101	ES 1982-514852	19820809
DK 820	03647 A	19830215	DK 1982-3647	19820813
AU 828	37170 A1	19830217	AU 1982-87170	19820813
JP 580	041892 A2	19830311	JP 1982-139982	19820813
ZA 820	05876 A	19830629	ZA 1982-5876	19820813
HU 276	554 O	19831028	HU 1982-2614	19820813

L3 ANSWER 31 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-94-6 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)-5-(hexadecyloxy)tetrahydro-, 2-oxide, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H47 C12 N2 O3 P

LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$\begin{array}{c} \text{CH}_2\text{Cl} \\ \text{H} \\ \text{N} \\ \text{R} \\ \text{N} \\ \text{CH}_2\text{Cl} \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	EP 72531	A1 19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE, FR, GB,	IT, LI, LU, NL, SE	
	DE 3132221	A1 19830519	DE 1981-3132221	19810814
	ES 514852	A1 19831101	ES 1982-514852	19820809
	DK 8203647	A 19830215	DK 1982-3647	19820813
	AU 8287170	A1 19830217	AU 1982-87170	19820813
	JP 58041892	A2 19830311	JP 1982-139982	19820813
	ZA 8205876	A 19830629	ZA 1982-5876	19820813
	HU 27654	0 19831028	HU 1982-2614	19820813

L3 ANSWER 32 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-89-9 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-5-ol, 2-[bis(2-chloroethyl)amino]tetrahydro-, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C7 H15 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1967 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	EP 72531	A1	19830223	EP 1982-107270	19820811
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	DE 3132221	A1	19830519	DE 1981-3132221	19810814
	ES 514852	A 1	19831101	ES 1982-514852	19820809
	DK 8203647	Α	19830215	DK 1982-3647	19820813
	AU 8287170	A1	19830217	AU 1982-87170	19820813
	JP 58041892	A2	19830311	JP 1982-139982	19820813
	ZA 8205876	Α	19830629	ZA 1982-5876	19820813
	HU 27654	0	19831028	HU 1982-2614	19820813

L3 ANSWER 33 OF 33 REGISTRY COPYRIGHT 2002 ACS

RN 85820-88-8 REGISTRY

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,N-bis(2-chloroethyl)tetrahydro-5-(phenylmethoxy)-, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

DR 143396-64-9

MF C14 H21 Cl2 N2 O3 P

LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER (*File contains numerically searchable property data)

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151065 Asymmetric synthesis of 2-(bis(2-chloroethyl)amino)-

5-benzyloxytetrahydro-2H-1,3,2-oxazaphosphorine-2-oxide. Chen, Ruyu; Zhang, Ming (Inst. Elem. Org. Chem., Nankai Univ., Tianjin, 300071, Peop. Rep. China). Gaodeng Xuexiao Huaxue Xuebao, 13(3), 352-4 (Chinese) 1992. CODEN: KTHPDM. ISSN: 0251-0790.

REFERENCE 2: 98:215800 Cyclophosphamide derivatives and their use. Eibl, Hansjoerg; Kolar, Cenek; Seiler, Friedrich Robert; Sedlacek, Hans Harald (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Fed. Rep. Ger.; Behringwerke A.-G.). Eur. Pat. Appl. EP 72531 Al 19830223, 32 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (German). CODEN: EPXXDW. APPLICATION: EP 1982-107270 19820811. PRIORITY: DE 1981-3132221 19810814.

PATENT	NO.		KIND	DATE			API	PLICATION	ON NO.	DATE
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EP 7253	1		A1	19830	223		EP	1982-1	07270	19820811
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			A1	19830			-			19810814
ES 5148	52		A1	19831	101		ES	1982-53	14852	19820809
OK 8203	647		Α	19830	215					19820813
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	R: R: DE 3132 SS 5148 DK 8203 AU 8287 IP 5804 CA 8205	PATENT NO	EP 72531 R: AT, BE, DE 3132221 ES 514852 DK 8203647 AU 8287170 JP 58041892 CA 8205876	EP 72531 A1 R: AT, BE, CH, DE, DE 3132221 A1 ES 514852 A1 DK 8203647 A AU 8287170 A1 JP 58041892 A2 AZ 8205876 A	EP 72531 A1 19830 R: AT, BE, CH, DE, FR, DE 3132221 A1 19830 ES 514852 A1 19831 DK 8203647 A 19830 U 8287170 A1 19830 UP 58041892 A2 19830 UA 8205876 A 19830	EP 72531 A1 19830223 R: AT, BE, CH, DE, FR, GB, DE 3132221 A1 19830519 ES 514852 A1 19831101 DK 8203647 A 19830215 AU 8287170 A1 19830217 JP 58041892 A2 19830311 AZ 8205876 A 19830629	EP 72531 Al 19830223 R: AT, BE, CH, DE, FR, GB, IT, DE 3132221 Al 19830519 ES 514852 Al 19831101 DK 8203647 A 19830215 AU 8287170 Al 19830217 JP 58041892 A2 19830311 AA 8205876 A 19830629	EP 72531 A1 19830223 EP R: AT, BE, CH, DE, FR, GB, IT, LI, I DE 3132221 A1 19830519 DE ES 514852 A1 19831101 ES DK 8203647 A 19830215 DK AU 8287170 A1 19830217 AU JP 58041892 A2 19830311 JP EA 8205876 A 19830629 ZA	EP 72531 A1 19830223 EP 1982-1 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, DE 3132221 A1 19830519 DE 1981-3 ES 514852 A1 19831101 ES 1982-5 DK 8203647 A 19830215 DK 1982-36 AU 8287170 A1 19830217 AU 1982-8 DF 58041892 A2 19830311 JP 1982-13 EA 8205876 A 19830629 ZA 1982-56	EP 72531 Al 19830223 EP 1982-107270 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE DE 3132221 Al 19830519 DE 1981-3132221 ES 514852 Al 19831101 ES 1982-514852 DK 8203647 A 19830215 DK 1982-3647 AU 8287170 Al 19830217 AU 1982-87170 DF 58041892 A2 19830311 JP 1982-139982 DK 8205876 A 19830629 ZA 1982-5876

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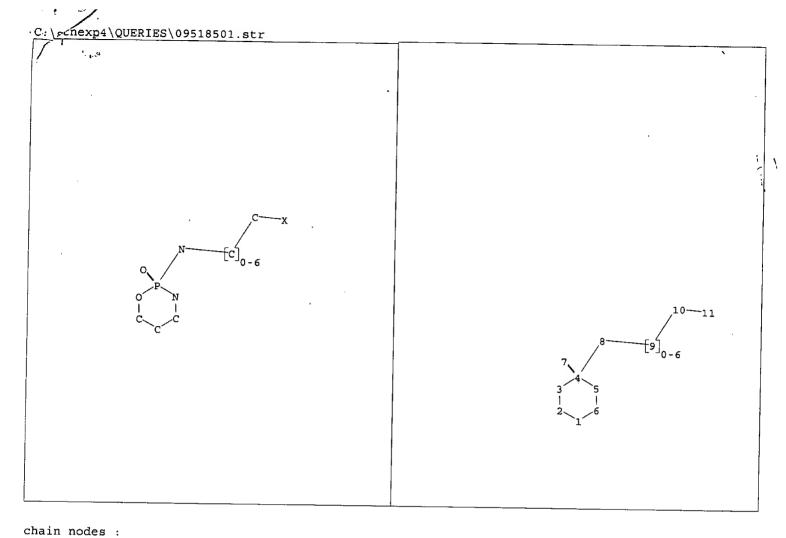
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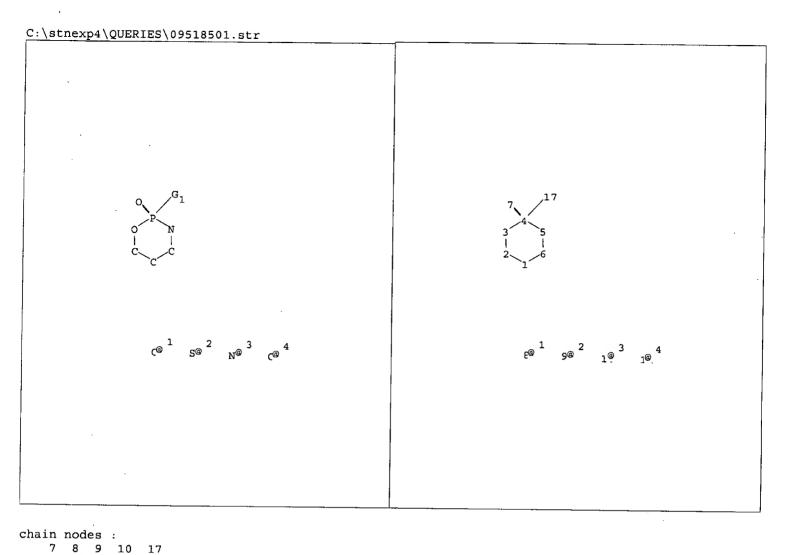
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ring bonds:
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exact/norm bonds:
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exact bonds:
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Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS



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11
chain bonds :
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ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
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ring nodes : 1 2 3 4 5 6 ring/chain nodes :

11:CLASS 17:CLASS

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50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: PROJECTED ANSWERS:

1847 TO 1401 TO 2599

50 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:42:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2404 TO ITERATE

100.0% PROCESSED 2404 ITERATIONS SEARCH TIME: 00.00.02

1955 ANSWERS

L3 1955 SEA SSS FUL L1

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L4STRUCTURE UPLOADED

=> s 14 sss full subset=13 FULL SUBSET SEARCH INITIATED 16:46:16 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 1016 TO ITERATE

100.0% PROCESSED 1016 ITERATIONS SEARCH TIME: 00.00.02

957 ANSWERS

957 SEA SUB=L3 SSS FUL L4

=> s 13 not 15

L6 998 L3 NOT L5

=> d scan

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS

IN 2H-1,3,2-Oxazaphosphorine, 5-bromotetrahydro-2-phenoxy-, 2-oxide (9CI)

MF C9 H11 Br N O3 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS IN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(2-iodobenzoyl)-3-(phenylmethyl)-, 2-oxide (9CI)
MF C17 H17 I N O3 P

L6 998 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN 2H-1,3,2-Oxazaphosphorine, tetrahydro-3-(phenylmethyl)-2-propyl-, 2-oxide
(9CI)
MF C13 H20 N O2 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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SINCE FILE TOTAL ENTRY SESSION 167.54 167.69

FULL ESTIMATED COST

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=> s 16 L7 370 L6

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=> d 1-45 cbib pi hitstr

L8 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2001 ACS
2001:460146 Document No. 135:33567 Method of obtaining racemic and chiral derivatives of 2-thio-1,3,2-oxazaphosphorinane. Mikolajczyk, Marian; Luczak, Jerzy (Polska Akademia Nauk, Centrum Badan Molekularnych i Makromolekularnych, Pol.). Pol. PL 178668 B1 20000531, 5 pp. (Polish). CODEN: POXXA7. APPLICATION: PL 1995-308686 19950519. PATENT NO. KIND DATE APPLICATION NO. DATE

PI PL 178668 B1 20000531 PL 1995-308686 19950519

IT 343581-46-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and ion exchange of)

RN 343581-46-4 CAPLUS

CN Methanaminium, N,N,N-trimethyl-, salt with (+)-tetrahydro-2-hydroxy-2H-1,3,2-oxazaphosphorine 2-sulfide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 343581-45-3 CMF C3 H7 N O2 P S

Rotation (+).

CM 2

CRN 51-92-3 CMF C4 H12 N

IT 343581-47-5P

RN 343581-47-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-mercapto-, 2-oxide, monosodium salt, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

Na

L8 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2001 ACS

STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English).

CODEN: PIXXD2. APPLICATION: WO 2000-US5672 20000303. PRIORITY: US 1999-PV123013 19990305; US 1999-PV153127 19990908.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2000052015 A2 20000908 WO 2000-US5672 20000303

WO 2000052015 A3 20010215 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ. BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG IT 261370-70-1P 290362-15-1P 290362-16-2P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phosphoramidate prodrugs) RN 261370-70-1 CAPLUS 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-CN phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 290362-15-1 CAPLUS

CN 9H-Purin-6-amine, 9-[5-0-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-16-2 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

RN 290362-25-3 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-3-methyl-2-(4-nitrophenoxy)-4-phenyl, 2-oxide (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-69-8 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine, --tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS
CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-73-4 CAPLUS
CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN 2=Thiazolamine, 5-(methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 290362-12-8 CAPLUS

CN 2-Thiazolamine, 4-[5-[4-(2,4-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 290362-13-9 CAPLUS

CN Adenosine, 2',3'-dideoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-14-0 CAPLUS

CN Thymidine, 3'-azido-3'-deoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-17-3 CAPLUS

CN Uridine, 2'-deoxy-5-fluoro-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-18-4 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & O \\ \hline N & N & CH_2 - CH_2 -$$

RN 290362-19-5 CAPLUS

CN 9H-Purin-6-amine, 9-[5-O-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2001 ACS
2000:456867 Document No. 133:84284 A combination of fructose-1,6bisphosphatase (FBPase) inhibitors and insulin sensitizers for the
treatment of diabetes. Erion, Mark D.; Vanpoelje, Paul (Metabasis
Therapeutics, Inc., USA). PCT Int. Appl. WO 2000038666 A2 20000706, 306
pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY,
CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM;
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,

GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30713 19991222. PRIORITY: US 1998-PV114718 19981224.

PATENT NO. KIND DATE APPLICATION NO. DATE PΙ WO 2000038666 A2 20000706 WO 1999-US30713 19991222 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG IT 261370-76-7P 261370-79-0P 261370-80-3P 280781-78-4DP, isomers 280781-79-5DP, isomers 280781-81-9DP, isomers 280781-83-1P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor prepn.) RN 261370-76-7 CAPLUS 2-Thiazolamine, 5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & NH & NH_2 \\ \hline \\ C1 & I-Bu \end{array}$$

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 280781-78-4 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 280781-79-5 CAPLUS
CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

Ph NH NH2

RN 280781-81-9 CAPLUS CN 2-Thiazolamine, 5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

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ANSWER 4 OF 45 CAPLUS COPYRIGHT 2001 ACS
2000:175817
               Document No. 132:222529 Preparation of heteroaromatic
     phosphonates as fructose 1,6-bisphosphatase inhibitors. Dang, Oun;
     Kasibhatla, Srinivas Rao; Reddy, K. Raja; Erion, Mark D.; Reddy, M. Rami;
     Agarwal, Atul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO
     2000014095 A1 20000316, 338 pp. DESIGNATED STATES: W: AE, AL, AM, AT,
     AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES,
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     AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI,
     CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,
     PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: NO
     1939-US20346 19990903. PRIORITY: US 1998-135504 19980909; US 1998-111077
     19981207.
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO.
                                                               DATE
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PΙ
     WO 2000014095
                        A1
                             20000316
                                             WO 1999-US20346 19990903
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1112275
                            20010704
                                             EP 1999-954595
                       A1
                                                               19990903
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     NO 2001001174
                             20010509
                                             NO 2001-1174
                        Α
                                                               20010307
IT
     261370-67-6P 261370-68-7P 261370-69-8P
     261370-70-1P 261370-71-2P 261370-72-3P
     261370-73-4P 261370-74-5P 261370-75-6P
     261370-76-7P 261370-77-8P 261370-79-0P
     261370-80-3P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (target compd.; prepn. of heteroarom. phosphonates as fructose
        1,6-bisphosphatase inhibitors via high throughput and std. synthetic
        methods)
     261370-67-6 CAPLUS
RN
     2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-
     thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, monohydrochloride (9CI) (CA
     INDEX NAME)
```

HCl

RN 261370-68-7 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 261370-70-1 CAPLUS CN 2-Thiazolamine, 5-(2-

2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN -2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-72-3 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl= CN 2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-75-6 CAPLUS

2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) INDEX NAME)

HCl

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl}- (9CI) (CA INDEX NAME)

RN 261370-77-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(methylthio)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2001 ACS

1999:334338 Document No. 130:320832 Antineoplastic pharmaceutical agent. Stec, Wojciech J.; Radzikowski, Czeslaw; Szelejewski, Wieslaw; Kinas, Ryszard; Misiura, Konrad; Grynkiewicz, Grzegorz; Kusmierczyk, Halina;

Kutner, Andrzej; Pilichowska, Slawomira (Instytut Farmaceutyczny, Pol.; PAN-Centrum Badan Molekularnych i Makromolekularnych; PAN-Instytut Immunologii i Terapii Doswiadczalnej). Pol. PL 175310 Bl 19981231, 12

pp.

(Polish). CODEN: POXXA7. APPLICATION: PL 1994-303316 19940504.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI PL 175310 B1 19981231 PL 1994-303316 19940504

5638-55-1D, 2H-1,3,2-Oxazaphosphorin-2-amine tetrahydro 2-oxide, derivs. 105217-07-0D, 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide, (-)-, derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor agents contg. 1,3,2-oxazaphosphorin-2-amine derivs.)

RN 5638-55-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

RN 105217-07-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

ANSWER 6 OF 45 CAPLUS COPYRIGHT 2001 ACS Document No. 130:282311 Preparation of macrolide 8a-azalide 1999:271360 erythromycins as bactericides. Blizzard, Timothy A.; Hammond, Milton L.; Santorelli, Gina M.; Morgan, Jerry D., II (Merck & Co., Inc., USA). PCT Int. Appl. WO 9919331 A1 19990422, 55 pp. DESIGNATED STATES: W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-US21594 19981013. PRIORITY: US 1997-62180 19971016; GB 1998-6417 19980325. PATENT NO. KIND DATE APPLICATION NO. DATE --------------______ PΤ WO 9919331 A1 19990422 WO 1998-US21594 19981013 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT,

UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9910825 A1 19990503 AU 1999-10825 19981013

IT 222637-39-0P 222637-40-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of macrolide 8a-azalide erythromycins as bactericides)

RN 222637-39-0 CAPLUS

CN 7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-2,8-dione,
6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
(3R,4R,5S,6R,9R,11S,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222637-40-3 CAPLUS

CN 7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-2,8,10-trione,
6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
(3R,4R,5S,6R,9R,11R,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 45 CAPLUS COPYRIGHT 2001 ACS Document No. 130:125221 Method for preparation of oxazaphosphorin-2-amines. Niemeyer, Ulf; Niegel, Harald; Kutscher, Bernhard; Neda, Ion (ASTA MEDICA A.-G., Germany). Ger. DE 19739159 C1 19990128, 8 pp. (German). CODEN: GWXXAW. APPLICATION: DE 1997-19739159 19970906. PATENT NO. KIND DATE APPLICATION NO. DATE ____ -----PΙ DE 19739159 C1 DE 1997-19739159 19970906 19990128 WO 9912942 **A**1 19990318 WO 1998-EP5170 19980814 W: BR, CA, CN, HU, IL, JP, MX, PL RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE EP 1012152 A1 20000628 EP 1998-945245 19980814 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI ZA 9807741 Α 19981008 ZA 1998-7741 19980826 US 6187941 В1 20010213 US 1998-149099 19980908 **37753-09-6P**, Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-... IT 1,3,2-oxazaphosphorin-2-yl]amino]-RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of oxazaphosphorinamines by cyclization of chloroethylamine, hydroxypropylamine and phosphoryl chloride) 37753-09-6 CAPLUS RN CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

```
IT
        37753-10-9P, Sufosfamide
        RL: SPN (Synthetic preparation); PREP (Preparation)
            (prepn. of oxazaphosphorinamines by cyclization of chloroethylamine,
            hydroxypropylamine and phosphoryl chloride)
        37753-10-9 CAPLUS
 RN
 CN
        Ethanol,
 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-
        2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)
 ClCH2-CH2
                NH- CH2- CH2- O- S-Me
       ANSWER 8 OF 45 CAPLUS COPYRIGHT 2001 ACS
 1999:27819
                 Document No. 130:81790 Preparation of 8a-azalide erythromycin
       analogs as bactericides. Blizzard, Timothy A.; Waddell, Sherman T.;
       Santorelli, Gina M.; Morgan, Jerry D. Ii; Hammond, Milton L.; Heck, James
       V. (Merck & Co., Inc., USA). PCT Int. Appl. WO 9858917 A1 19981230, 63
       pp. DESIGNATED STATES: W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN,
      PP. DESIGNATED STATES: W: AL, AM, AU, AZ, BA, BB, BG, BK, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2.

APPLICATION: WO 1998-US12574 19980617. PRIORITY: US 1997-50401 19970620;
       GB 1998-233 19980106.
       PATENT NO.
                      KIND
                                    DATE
                                                       APPLICATION NO. DATE
                                    _____
                                                       -----
PΤ
      WO 9858917
                           A1
                                    19981230
                                                      WO 1998-US12574 19980617
           W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW,
                HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK,
                MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                CM, GA, GN, ML, MR, NE, SN, TD, TG
      AU 9881475
                             Α1
                                   19990104
                                                       AU 1998-81475
                                                                             19980617
ΙT
      218941-64-1P 218941-65-2P
      RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
      preparation); THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); USES (Uses)
          (prepn. of 8a-azalide erythromycin analogs as bactericides)
RN
      218941-64-1 CAPLUS
CN
      7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecan-8-one,
      6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-
      trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
      (3S, 4R, 5S, 6R, 9R, 11S, 12R, 13R, 16R) - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 218941-65-2 CAPLUS
CN 7,14-Dioxa-1-aza-15-phosphabicyclo[11.2.2]heptadecane-8,10-dione,
6-ethyl-4,5-dihydroxy-15-methoxy-3,5,9,11,13,16-hexamethyl-12-[[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]oxy]-, 15-oxide,
(3S,4R,5S,6R,9R,11R,12R,13R,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2001 ACS
1998:169488 Document No. 128:257656 Preparation of amphiphilic glycerols or
ethyleneglycols as phosphatidylcholine synthesis inhibitors and
antitumors. Attard, George Simon; McGuigan, Christopher; Riley, Patrick
Anthony (University of Southampton, UK; Attard, George Simon; McGuigan,
Christopher; Riley, Patrick Anthony). PCT Int. Appl. WO 9809668 A2
19980312, 57 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG,
BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,

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MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
     UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT,
     BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT,
     LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2.
     APPLICATION: WO 1997-GB2410 19970908. PRIORITY: GB 1996-18634 19960906.
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                     _ ----
                                          _____
                     A2
PΙ
     WO 9809668
                           19980312
                                          WO 1997-GB2410
                                                           19970908
     WO 9809668
                     A3
                          19980625
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
         PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
            US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
                      A1 19980326
                                          AU 1997-41285
                                                           19970908
    AU 9741285
ΙT
    204924-50-5P
    RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of amphiphilic glycerols or ethyleneglycols as
        phosphatidylcholines synthesis inhibitors and antitumors)
     204924-50-5 CAPLUS
RN
CN
     2H-1,3,2-Oxazaphosphorine,
2-[3-(hexadecyloxy)-2-methoxypropoxy]tetrahydro-
     , 2-oxide (9CI) (CA INDEX NAME)
            OMe
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ANSWER 10 OF 45 CAPLUS COPYRIGHT 2001 ACS

, methyl ester (9CI) (CA INDEX NAME)

Document No. 127:262992 Preparation of oxyazaphospholine 1997:617451 D-xylofuranose derivatives having herbicidal activity. Yamashita, Koji; Oshikawa, Tatsuo; Usui, Tatsuya; Seo, Kuniaki (Yamashita, Koji, Japan; Nippon Soda Co., Ltd.). Jpn. Kokai Tokkyo Koho JP 09241284 A2 19970916 Heisei, 10 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1996-80882 19960308. APPLICATION NO. DATE PATENT NO. KIND DATE _____ _____ JP 1996-80882 19960308 A2 19970916 PΙ JP 09241284 196201-85-1P 196201-86-2P 196201-87-3P IΤ 196201-88-4P RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of oxyazaphospholine D-xylofuranose derivs. having herbicidal activity) RN 196201-85-1 CAPLUS CN Glycine, N-((4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl]-

Absolute stereochemistry.

RN 196201-86-2 CAPLUS

CN L-Alanine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethy1)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl], methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 196201-87-3 CAPLUS

CN L-Phenylalanine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 196201-88-4 CAPLUS

CN L-Leucine, N-[(4aR,5aR,8aR,8bS)-hexahydro-7,7-dimethyl-2-oxido-3-

(phenylmethyl)-2H-[1,3]dioxolo[4,5]furo[2,3-e]-1,3,2-oxazaphosphorin-2-yl], methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2001 ACS
1996:748439 Document No. 126:19030 Preparation of O-aryl ethers of
2-hydroxy-2-thio- or -2-oxo-1,3,2-oxazaphosphorinane. Mastryukova, T.

A.;
Mndzhoyan, Z. O.; Shipov, A. E.; Roslavtseva, S. A.; Golitsyna, V. V.;
Ter-Zakharyan, Yu. Z.; Oganyan, Sh G.; Kagan, Yu. S.; Ershova, E. A.;
Kabachnik, M. I. (Institut Elementoorganicheskikh Soedinenij
Im.A.N.Nesmeyanova, USSR). U.S.S.R. SU 1019823 A1 19960310 From:
Izobreteniya 1996, (7), 279. (Russian). CODEN: URXXAF. APPLICATION: SU 1981-3295597 19810603.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI SU 1019823 A1 19960310 SU 1981-3295597 19810603

IT 50742-52-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of aryloxy(thio) - or -(oxo)oxazaphosphorinane)

RN 50742-52-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-phenoxy-, 2-oxide (6CI, 9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2001 ACS

1996:365550 Document No. 125:26247 A method of selectively destroying neoplastic cells using chemotherapeutic agent and viral vector to express cytochrome P 450 gene. Chiocca, E. Antonio; Waxman, David J.; Wei, Ming X.; Breakefield, Xandra O.; Chen, Ling (General Hospital Corporation,

USA;
Boston University; Dana Farber Cancer Institute). PCT Int. Appl. WO 9604789 A1 19960222, 148 pp. DESIGNATED STATES: W: CA, JP; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1995-US10365 19950815. PRIORITY: US 1994-291500 19940817; US 1994-330523 19941028.

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 9604789 A1 19960222 WO 1995-US10365 19950815

W: CA, JP RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5688773 19971118 US 1994-330523 Α 19941028 EP 776161 EP 1995-929543 19970604 A1 19950815 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE JP 10504308 T2 19980428 JP 1995-507577 19950815 ΙT 53859-37-3 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (cytochrome P 450 gene-expressing vector and chemotherapeutic agent for selectively destroying neoplastic cells) RN 53859-37-3 CAPLUS CN - 2H-1, 3, 2-Oxazaphosphorin-2-amine, N, N-diethyltetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2001 ACS
1993:678769 Document No. 119:278769 Manufacture of multilayered
controlled-release transdermal patches. Wick, John; Weimann, Ludwig J.;
Pollock, Wayne C. (Mli Acquisition Corp. II, USA). Eur. Pat. Appl. EP
563507 A1 19931006, 35 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK,

ES,
FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE. (English). CODEN: EPXXDW.
APPLICATION: EP 1992-850190 19920813. PRIORITY: US 1992-861534 19920401.
PATENT NO. KIND DATE APPLICATION NO. DATE

PI EP 563507 A1 19931006 EP 1992-850190 19920813 EP 563507 B1 19980527

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,

SE

CA	2075517	AA	19931002	CA	1992-2075517	19920807
CA	2075517	С	19970311			
ΑT	166574	E	19980615	AT	1992-850190	19920813
ES	2118124	Т3	19980916	ES	1992-850190	19920813
BR	9203172	A	19931019	BR	1992-3172	19920814

IT **37753-10-9**, Sufosfamide

RL: BIOL (Biological study)

(transdermal patches contg., controlled-release)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethy1)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-y1]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L8 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2001 ACS

1993:428359 Document No. 119:28359 Preparation of phosphorodiamidothioate herbicides. Kanne, David B. (Imperial Chemical Industries PLC, UK).

U.S.

PΙ

US 5189169 A 19930223, 12 pp. (English). CODEN: USXXAM. APPLICATION: US 1991-790788 19911112.

PATENT NO. KIND DATE APPLICATION NO. DATE
US 5189169 A 19930223 US 1991-790788 19911112

IT 147465-34-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide)

RN 147465-34-7 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-3-methyl-N,N-dipropyl-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2001 ACS

1991:178387 Document No. 114:178387 Treatment of AIDS with thiophosphate derivatives of chelidonium majus alkaloids. Nowicky, Wassyl (Austria). U.S. US 4970212 A 19901113, 25 pp. Cont.-in-part of U.S. 4,816,462. (English). CODEN: USXXAM. APPLICATION: US 1988-173564 19880325. PRIORITY: US 1982-379415 19820518: US 1986-831082 19860220.

	FRIORITI. 05 1902-379415 19020510,			0.5)3 1300-03100Z 13000ZZU.			
	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE		
PΙ	US 4970212	Α	19901113		US 1988-173564	19880325		
	AU 566682	B2	19871029		AU 1982-85977	19820713		
	AU 8285977	A1	19830224					
	US 4816462	Α	19890328		US 1986-831082	19860220		

IT 86639-89-6 86639-90-9 86639-91-0 86639-92-1

RL: BIOL (Biological study)
(AIDS treatment by)

RN 86639-89-6 CAPLUS

CN Dibenz[c,g]azecin-13(6H)-one, 11,11'-[((tetrahydro-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[5,7,8,14-tetrahydro-10-hydroxy-3,4-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

•2 HCl

PAGE 1-B

RN 86639-90-9 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,

10,10'-[[(tetrahydro-2-

oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-2,6-(2,1-ethanediyloxy)]bis[9-(chloromethoxy)-12,13,14,15-tetrahydro-14-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

RN 86639-91-0 CAPLUS
CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,
10,10'-[[(tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(2,1ethanediyloxy)]bis[12,13,14,15-tetrahydro-9-methoxy-13-methyl-,
tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

PAGE 1-B

RN 86639-92-1 CAPLUS

CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one, 9,9'-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[12,13,14,15-tetrahydro-10-hydroxy-14-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

PAGE 1-B

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ANSWER 16 OF 45 CAPLUS COPYRIGHT 2001 ACS
             Document No. 114:102388 Preparation of
1991:102388
2H-N-acyltetrahydro-2-oxo-
    1,3,2-oxazaphosphorines. Stec, Wojciech J.; Radzikowski, Czeslaw;
    Szelejewski, Wieslaw; Kinas, Ryszard; Misiura, Konrad; Grynkiewicz,
    Grzegorz; Grodner, Jacek; Kutner, Andrzej; Pilichowska, Slawomira;
    Glazman-kusmierczyk, Halina (Instytut Przemyslu Farmaceutycznego, Pol.;
    Polska Akademia Nauk, Centrum Badan Molekularnych i Makromolekularnych;
     Instytut Immunologii i Terapii Doswiadczalnej). Pol. PL 149593 B1
    19900228, 10 pp. Abstracted and indexed from the unexamined application.
     (Polish). CODEN: POXXA7. APPLICATION: PL 1986-263082 19861218.
                                          APPLICATION NO. DATE
                     KIND DATE
    PATENT NO.
                                          ______
     _____
                                                           19861218
                           19900228
                                          PL 1986-263082
PΙ
    PL 149593
                      В1
    132147-81-0 132147-82-1
IT
    RL: RCT (Reactant)
        (acetylation of, with bromoacetyl halide)
RN
    132147-81-0 CAPLUS
    2H-1,3,2-Oxazaphosphorine, 2-(2-bromoethyl)tetrahydro-, 2-oxide (9CI)
CN
(CA
    INDEX NAME)
```

L8 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2001 ACS 1989:147878 Document No. 110:147878 A thio compound in a method for reducing

pain associated with the administration of 4-sulfidooxazaphosphorines and 4-sulfoalkylthiooxazaphosphorines. Hohorst, Hans Jurgen; Peter, Gernot; Voelcker, Georg; Wrabetz, Erhardt (Asta Pharma A.-G., Fed. Rep. Ger.). U.S. US 4770870 A 19880913, 12 pp. Cont.-in-part of U.S. Ser. No. 454,865. (English). CODEN: USXXAM. APPLICATION: US 1985-714845 19850322. PRIORITY: DE 1981-3151977 19811231; DE 1982-3222006 19821106; US 1982-454865 19821230.

IT 119793-36-1

RL: BIOL (Biological study)

(drug compn., painless i.v. administration of)

RN 119793-36-1 CAPLUS

CN L-Cysteine, mixt. with 2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]ethanol (9CI) (CA INDEX NAME)

CM

CRN 119793-35-0 CMF C5 H13 N2 O3 P S

$$H_2N$$
 H $S-CH_2-CH_2-OH$

CM 2

CRN 52-90-4 CMF C3 H7 N O2 S CDES 5:L

Absolute stereochemistry.

IT 119789-99-0D, derivs., cyclohexylamine and lysine salts
119790-01-1 119790-02-2

RL: BIOL (Biological study)

(pain assocd. with i.v. administration of, redn. of, with thio

compds.)

RN -119789-99-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-4-thiol, 2-aminotetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & \nearrow \\ N & \nearrow \\ N & \nearrow \\ N & NH_2 \end{array}$$

RN 119790-01-1 CAPLUS

CN Ethanesulfonic acid, 2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 119790-00-0

CMF C5 H13 N2 O5 P S2

CM 2

CRN 108-91-8 CMF C6 H13 N

RN 119790-02-2 CAPLUS

CN L-Lysine, mono[2-[(2-aminotetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl)thio]ethanesulfonate] (9CI) (CA INDEX NAME)

RN 132147-82-1 CAPLUS

Rotation (+):--.

L8 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2001 ACS

1991:30121 Document No. 114:30121 Drug effect-enhancing agent for antitumous drug. Akiyama, Shinichi; Sakoda, Ryozo; Seto, Kiyotomo; Shudo, Norimasa (Nissan Chemical Industries, Ltd., Japan). Eur. Pat. Appl. EP 353692 A2 19900207, 40 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1989-114113 19890731. PRIORITY: JP 1988-193002 19880802; JP 1989-168545 19890630.

		TENT			KI:	ND	DATE	:		A	PPLI	CATI	ON 1	10.	DATE	
ΡI	ΕP	3536	592		A	2	1990	0207		El	P 19	 89-1	141	- - - 13	19890	731
	EΡ	3536	92		A	3	1991	0508								
	EΡ	3536	92		В	1	1995	1004								
		R:	ΑT,	BE,	CH,	DE,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	
	JР	0213			A.		1990					89-1			19890	630
	JP	2850	376		B	2	1999	0127								
	CA	1334	752		A.	1	1995	0314		CF	19	89-6	0702	26	19890	731
	EΡ	6554	.55		A.	1	1995	0531		E	19	95-1	0131	.0	19890	731
		R:	ΑT,	BE,	CH,	DE,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	
	AT	1286	23		E		1995	1015		ΑT	198	89-1	1411	L 3	19890	731
	US	5130	303		Α		1992	0714		US	199	91-7	2990) 4	19910	715
	US	5304	550		Α		1994	0419		US	199	93-5	7902	?	19930	507
	US	5508	403		Α		1996	0416		US	199	95-4	6351	.1	19950	605

IT 113955-12-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (neoplasm inhibitor activity enhancement and resistance suppression with)

RN 113955-12-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

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CM
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CRN 119790-00-0 CMF C5 H13 N2 O5 P S2

CM 2

CRN 56-87-1 CMF C6 H14 N2 O2

CDES 5:L

Absolute stereochemistry.

ANSWER 19 OF 45 CAPLUS COPYRIGHT 2001 ACS 1988:544599 Document No. 109:144599 Benzoxazaphosphorine derivatives and agrochemical insecticidal compositions containing them. Yoshikawa, Hiromichi; Imai, Yasushi (Asahi Chemical Industry Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 62249996 A2 19871030 Showa, 18 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1986-92409 19860423. PATENT NO. KIND DATE APPLICATION NO. DATE JP 62249996 A2 19871030 JP 1986-92409 19860423 IT 116617-91-5P 116617-92-6P 116617-93-7P 116617-94-8P 116617-95-9P 116617-96-0P 116617-97-1P 116617-98-2P 116617-99-3P 116618-00-9P 116618-03-2P 116618-04-3P 116618-07-6P 116618-08-7P 116618-12-3P 116618-13-4P 116618-14-5P 116618-15-6P 116618-16-7P 116618-17-8P 117343-48-3P 117343-49-4P 117343-50-7P 117343-51-8P 117729-43-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as agrochem. insecticide) RN 116617-91-5 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-3-(1-methylethyl)-6-nitro-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-92-6 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-3,4-dihydro-2-methoxy-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-93-7 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-94-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-3,4-dihydro-2-(1-methylethyl)-2-propoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-95-9 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(2-methylpropyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-96-0 CAPLUS

2H-1,3,2-Benzoxazaphosphorine, 6-bromo-3,4-dihydro-2-methoxy-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116617-97-1 CAPLUS

2H-1,3,2-Benzoxazaphosphorine, 6-bromo-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME) CN

116617-98-2 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6,8-dichloro-2-ethoxy-3,4-dihydro-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

116617-99-3 CAPLUS RN

2H-1,3,2-Benzoxazaphosphorine, 6,8-dibromo-2-ethoxy-3,4-dihydro-3-(1-CN methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-00-9 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6,8-dibromo-2-ethoxy-3,4-dihydro-3-(2-methylpropyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-03-2 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

12/19/18

Relative stereochemistry.

RN 116618-04-3 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(2-propenyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-07-6 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-3, 4-dihydro-4-methyl-3-(1-

methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-08-7 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
6-chloro-2-ethoxy-3,4-dihydro-4-methyl-3-(2-propenyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-12-3 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-4-ethyl-3,4-dihydro-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-13-4 CAPLUS CN 2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-4-ethyl-3,4-dihydro-3-(2-propenyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 116618-14-5 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(1-methylethyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-15-6 CAPLUS
CN 2H-1,3,2-Benzoxazaphosphorine,
6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(2-propenyl)-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-16-7 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-4-propyl-, 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 116618-17-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(2-propenyl)-4-propyl-, 2-oxide (9CI) (CA INDEX NAME)

$$C1$$
 $CH_2-CH=CH_2$
 O
 OEt

RN 117343-48-3 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 117343-49-4 CAPLUS

2H-1,3,2-Benzoxazaphosphorine, 2-ethoxy-3,4-dihydro-4-methyl-3-(1-methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME) CN

Relative stereochemistry.

117343-50-7 CAPLUS

2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(1-methylethyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 117343-51-8 CAPLUS

2H-1,3,2-Benzoxazaphosphorine,

6-chloro-2-ethoxy-4-ethyl-3,4-dihydro-3-(2-

propenyl)-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 117729-43-8 CAPLUS

CN 2H-1,3,2-Benzoxazaphosphorine, 6-chloro-2-ethoxy-3,4-dihydro-3-(1-methylethyl)-4-propyl-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L8 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2001 ACS

1988:167687 Document No. 108:167687 Preparation of dihydropyridine-5-phosphonamidic acid derivatives for treatment of circulation disorders. Kamikawaji, Masumasa; Seto, Kyotomo; Sakota, Ryozo; Tanaka, Sakuya

Chemical Industries, Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 62195392 A2 19870828 Showa, 12 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1986-36402 19860220.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE :
JP 62195392	A2	19870828	JP 1986-36402	19860220
JP 06015553	B4	19940302	•	

IT 113955-08-1P 113955-09-2P 113955-10-5P 113955-11-6P 113955-12-7P 113979-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as hypotensive and calcium antagonist)

RN 113955-08-1 CAPLUS

PΙ

CN 3-Pyridinecarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-09-2 CAPLUS

N 3-Pyridinecarboxylic acid,

4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethyl-

5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-,

2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN - 113955-10-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-11-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(3-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)

RN 113955-12-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 113979-07-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-2,6-dimethyl-5-(tetrahydro-3,4,6-trimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2001 ACS

1987:67498 Document No. 106:67498 4-Carbamoyloxyoxazaphosphorins. Scheffler, Gerhard; Niemeyer, Ulf; Brock, Norbert; Pohl, Joerg (Asta-Werke

A.-G., Fed. Rep. Ger.). U.S. US 4618692 A 19861021, 18 pp. Cont. of U.S.

Ser. No. 299,006, abandoned. (English). CODEN: USXXAM. APPLICATION: US 1984-579570 19840213. PRIORITY: US 1981-299006 19810903.

PATENT NO. KIND DATE APPLICATION NO. DATE

US 4618692 A 19861021 US 1984-579570 19840213
SU 1435156 A3 19881030 SU 1985-3887403 19850513

IT 106371-52-2P 106392-23-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of, as antitumor agent)

RN 106371-52-2 CAPLUS

PΙ

CN Ethanesulfonic acid, 2-[[4-[(aminocarbonyl)hydroxyamino]-3-(2-

chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino] , methyl ester (9CI) (CA INDEX NAME)

RN 106392-23-8 CAPLUS

CN Ethanesulfonic acid, 2-[[4-[(aminocarbonyl)hydroxyamino]-3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2001 ACS

1986:515209 Document No. 105:115209 New oxazaphosphorine compounds and pharmaceutical compositions containing them. Lavielle, Gilbert; Cudennec,

Claude (ADIR, Fr.). Fr. Demande FR 2567129 A1 19860110, 27 pp. (French).

,	CODEN: FRX	YRI. APPI.T	CATION: FR	1984-10731 19840706.	
	PATENT NO.			APPLICATION NO.	DATE
E	 PI FR 2567129	A1	19860110	FR 1984-10731	19840706
	FR 2567129		19861205 19890207	CA 1985-482990	19850531
	CA 1249818 EP 172059	A1	19860219	EP 1985-401359	19850704
	EP 172059	B1	19880420	TM IT III NI CE	
	R: AT AT 33658	, BE, CH, D E	19880515	IT, LI, LU, NL, SE AT 1985-401359	19850704
	AU 8544641		19860109	AU 1985-44641	19850705
	AU 571414 ZA 8505082	B2 ! A	19880414 19860226	ZA 1985-5082	19850705
	JP 6104489	4 A2	19860304	JP 1985-148144	19850705
	JP 0205012 ES 544927	0 B4 A1	19901101 19860716	ES 1985-544927	19850705
	US 4605647		19860812	US 1985-752365	19850705
-	-m 48000 FB 0	- 40440E 16	OD 10413E	_10_0D	

IT 67882-57-9P 104125-16-8P 104125-18-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and addn. of, with chloroethyl isocyanate)

RN 67882-57-9 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-, 2-oxide (9CI) (CA INDEX

NAME)

RN 104125-16-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-18-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

IT 104125-17-9P 104125-19-1P 104125-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and nitrosation of)

RN 104125-17-9 CAPLUS

CN 2H-1, 3, 2-Oxazaphosphorine-3 (4H) -carboxamide,

N-(2-chloroethy1)dihydro-2-(1methylethy1)-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-19-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-20-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-methoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-03-3 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-Nnitroso-2-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-04-4 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-methoxy-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-05-5 CAPLUS

CN -2H-1,3,2-Oxazaphosphorine=3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2--- methyl-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-06-6 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide,

N-(2-chloroethyl)dihydro-2-(1-methylpropyl)-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-07-7 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-cyclohexyldihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-08-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-N-

nitroso-2-(phenylmethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-09-9 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-N-nitroso-2-phenoxy-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-10-2 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-[(4-chlorophenyl)methyl]dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-11-3 CAPLUS

CN 2H-1,3,2-0xazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-(4-chlorophenyl)dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-12-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)dihydro-2-(4-methylphenyl)-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

RN 104125-13-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-carboxamide, N-(2-chloroethyl)-2-(4-fluorophenyl)dihydro-N-nitroso-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2001 ACS

1985:488076 Document No. 103:88076 4-Carbamoyloxyoxaphosphorines useful in chemotherapy. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 900370 A4 19841217, 27 pp. Addn. to Belg. 890,276. (French). CODEN: BEXXAL. APPLICATION: BE 1984-60475 19840817. PRIORITY: BE 1981-59345 19810909.

PATENT NO. KIND DATE APPLICATION NO. DATE

-----PI BE 900370 A4 19841217 BE 1984-60475 19840817
BE 890276 A1 19820104 BE 1981-59345 19810909

IT 97139-10-1P 97139-12-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antineoplastic)

RN 97139-10-1 CAPLUS

CN Urea, N-[3-(2-chloroethyl)tetrahydro-2-[methyl[2-

[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]-Nhydroxy- (9CI) (CA INDEX NAME)

RN 97139-12-3 CAPLUS

CN Urea,

N-[3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amin o]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

IT 97139-23-6 97139-25-8

RL: RCT (Reactant)

(reaction of, with urea deriv.)

RN 97139-23-6 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-4-ol, 3-(2-chloroethyl)tetrahydro-2-[methyl[2-[(methylsulfonyl)oxy]ethyl]amino]-, 2-oxide (9CI) (CA INDEX NAME)

RN 97139-25-8 CAPLUS

CN 2H-1,3,2-0xazaphosphorin-4-ol, 3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2001 ACS

1985:95819 Document No. 102:95819 4-Ureidooxyoxazaphosphorins useful in treating malignant tumors. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 899457 A4 19840816, 26 pp. Addn. to Belg. 890,276. (French). CODEN: BEXXAL. APPLICATION: BE 1984-60394 19840419. PRIORITY: GB 1980-29222 19800910; BE 1981-890276 19810909.

PATENT NO. KIND DATE APPLICATION NO. DATE

PI BE 899457 A4 19840816 BE 1984-60394 19840419

IT 94831-33-1P 94831-35-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

94831-33-1 CAPLUS

RN

CN Ethanesulfonic acid, 2-[[4-[[(aminocarbonyl)hydroxyamino]oxy]-3-(2-

chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino]-

, methyl ester (9CI) (CA INDEX NAME)

RN 94831-35-3 CAPLUS

CN Ethanesulfonic acid, 2-[[4-[[(aminocarbonyl))hydroxyamino]oxy]-3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2001 ACS

1984:34702 Document No. 100:34702 Optically active derivatives of 1,3,2-oxazaphosphorinane. Stec, Wojciech Jerzy; Kinas, Ryszard; Pankiewicz, Krzysztof (Polska Akademia Nauk, Centrum Badan Molekularnych i

Makromolekularnych, Pol.). Pol. PL 119971 B1 19830831, 6 pp. (Polish). CODEN: POXXA7. APPLICATION: PL 1978-210736 19781106. PATENT NO. KIND DATE APPLICATION NO. DATE

PL 119971 B1 19820227 PL 1978-210736 19781106

IT 88142-38-5P 88142-39-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrogenolysis of)

RN 88142-38-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N-ethyltetrahydro-3-(1-phenylethyl)-, 2-oxide, [3(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PΙ

RN 88142-39-6 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-3-(1-phenylethyl)-, 2-oxide, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 88142-41-0P 88142-45-4P 88142-46-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

- (prepn. of)

RN 88142-41-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-, 2-oxide, (+)- (9CI)

(CA

INDEX NAME)

Rotation (+).

RN 88142-45-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-3-(1-phenylethyl)-, 2-oxide, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 88142-46-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N-ethyltetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2001 ACS

1983:471067 Document No. 99:71067 Diagnostic and therapeutic treatment of tumors and/or infectious diseases of all types using alkaloid compositions

or their salts. Nowicky, Wassyl (Austria). PCT Int. Appl. WO 8300486 A1 19830217, 59 pp. DESIGNATED STATES: W: AT, AU, BR, CH, DE, DK, FI, GB, HU, JP, KP, LK, LU, MC, MG, MW, NL, NO, RO, SE; RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG. (German). CODEN: PIXXD2. APPLICATION: WO 1982-AT21 19820708. PRIORITY: DE 1981-3128018 19810713. APPLICATION NO. PATENT NO. KIND DATE DATE ______ WO 1982-AT21 19820708 PΙ WO 8300486 A1 19830217 W: AT, AU, BR, CH, DE, DK, FI, GB, HU, JP, KP, LK, LU, MC, MG, MW, NL, NO, RO, SE RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, LU, NL, SE, SN, TD, TG DE 3128018 **A**1 19830407 DE 1981-3128018 19810713 AU 1982-86814 -19820708 AU 8286814 A1 19830222 19880107 AU 568483 B2 JP 1982-502047 JP 58501074 T2 19830707 19820708 JP 03026199 В4 19910410 EP 83600 A1 19830720 EP 1982-901956 19820708 EP 83600 В1 19871125 R: AT, BE, CH, DE, FR, GB, LI, LU, NL, SE 19871215 AT 1982-901956 19820708 AT 31064 E GB 2110533 A1 19830622 GB 1982-20293 19820713 GB 2110533 19860611 B2 ZA 8204983 19840425 ZA 1982-4983 19820713 Α AU 1982-85977 19820713 AU 566682 В2 19871029 AU 8285977 19830224 A1 FI 8300760 19830307 FI 1983-760 19830307 Α FI 73131 19870529 В FI 73131 С 19870910 IT 86639-89-6P 86639-90-9P 86639-91-0P 86639-92-1P RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 86639-89-6 CAPLUS

CN Dibenz[c,g]azecin-13(6H)-one, 11,11'-[[(tetrahydro-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl)imino]bis(3,1-propanediyloxy)]bis[5,7,8,14-tetrahydro-10-hydroxy-3,4-dimethoxy-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

(CA INDEX NAME)

RN 86639-90-9 CAPLUS
CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,
10,10'-[[(tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-2,6-(2,1-ethanediyloxy)]bis[9(chloromethoxy)-12,13,14,15-tetrahydro-14-methyl-, dihydrochloride (9CI)

●2 HCl

PAGE 1-B

PAGE 1-A

RN 86639-91-0 CAPLUS
CN Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one,
10,10'-[[(tetrahydro-2oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis(2,1ethanediyloxy)]bis[12,13,14,15-tetrahydro-9-methoxy-13-methyl-,
tetrahydrochloride (9CI) (CA INDEX NAME)

4 HCl

PAGE 1-B

RN

86639-92-1 CAPLUS Benzo[e]-1,3-dioxolo[4,5-1][2]benzazecin-7(6H)-one, 9,9'-[[(tetrahydro-2-CN oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino|bis(3,1propanediyloxy)]bis[12,13,14,15-tetrahydro-10-hydroxy-14-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)

L8 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2001 ACS

1983:422692 Document No. 99:22692 Cytostatically active 1,3,2-

oxaazaphosphorin compounds and their use in pharmaceutical compositions. Wilk, Hans Christoph; Moeller, Hinrich; Fischer, Herbert; Zeidler,

Hase, Brigitte (Henkel K.-G.a.A., Fed. Rep. Ger.). Ger. Offen. DE 3133077

Al 19830310, 12 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1981-3133077 19810821.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
					
ΡI	DE 3133077	A1	19830310	DE 1981-3133077	19810821
	EP 72987	A1	19830302	EP 1982-107361	19820813
	R: AT, B	E, CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
	JP 58039691	A2	19830308	JP 1982-143476	19820820

IT 86127-70-0P 86127-71-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and antitumor activity of)

RN 86127-70-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-N,N,3-tris(oxiranylmethyl)-, 2-oxide (9CI) (CA INDEX NAME)

RN 86127-71-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-propanol, .beta.-chlorodihydro-2-[methyl(oxiranylmethyl)amino]-, 2-oxide (9CI) (CA INDEX NAME)

IT 5638-55-1 86127-72-2 86127-74-4

RL: RCT (Reactant)

(reaction of, with epichlorohydrin)

RN 5638-55-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-, 2-oxide (9CI) (CA INDEX NAME)

RN 86127-72-2 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-N-methyl-, 2-oxide (9CI)

(CA INDEX NAME)

RN 86127-74-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, tetrahydro-3-methyl-, 2-oxide (9CI)

(CA

INDEX NAME)

L8 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2001 ACS
1983:53945 Document No. 98:53945 (1,3,2-Oxazaphosphorin-4ylthio)alkanesulfonic acids, their neutral salts and their pharmaceutical
preparations. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 892589 A1
19820716, 39 pp. (French). CODEN: BEXXAL. APPLICATION: BE 1982-59642

	19820323.		E 1981-3111428			
	PATENT NO.	KIND	DATE	AE	PLICATION NO.	DATE
ΡI	BE 892589	A1	19820716	ВЕ	1982-59642	19820323
	DE 3111428	A1	19821007	DE	1981-3111428	19810324
	DE 3111428	C2	19900613			
	CH 648852	Α	19850415	CH	1982-1390	19820308
	ZA 8201637	. А	19830223	z_{P}	1982-1637	19820311
	GB 2095256	Α	19820929	GE	1982-7375	19820312
	GB 2095256	B2	19840822			
	AU 8281344	A1	19820930	AU	1982-81344	19820312
	AU 544493	B2	19850530			
	IL '65255	. A1	19860331	-IL	1982-65255	19820315
	AT 8201063	Α	19860215	ΑT	1982-1063	19820317
	AT 381317	В	19860925			
	SE 8201807	Α	19820925	SE	1982-1807	19820322
	SE 452159	В	19871116			
	SE 452159	С	19880225			
	FR 2502626	A1	19821001	FR	1982-4823	19820322
	FR 2502626	B1	19860103			
	RO 84661	P	19841031	RO	1982-106989	19820322
	FI 8201021	Α	19820925	FI	1982-1021	19820323
	FI 69849	В	19851231			
	FI 69849	C	19860526			
	DK 8201298	Α	19820925	DK	1982-1298	19820323
	DK 171843	B1	19970630			
	NO 8200957	A	19820927	NO	1982-957	19820323
	NO 163692	В	19900326			
	NO 163692	С	19900704			
	JP 57169496		19821019	JP	1982-44779	19820323
	JP 02040680	B4	19900912			
	ES 510677	A1	19830201		1982-510677	19820323
	DD 201799	A 5	19830810		1982-238374	19820323
	HU 27203	0	19831028	HU	1982-879	19820323
	HU 186915	В	19851028			
	CA 1194479	A1	19851001		1982-399098	19820323
	SU 1318167	A3	19870615	SU	1982-3414100	19820323
	NL 8201227	Α	19821018	NL	1982-1227	19820324
	NL 192741	В	19970901			
	NL 192741	C	19980106			
	PL 129426	. B1	19840531		1982-235606	19820324
	US 4623742	Α	19861118		1984-683374	19841219
	US 4929607	Α	19900529	US	1988-149954	19880202
IT	84210-95-7P					

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 84210-95-7 CAPLUS

CN Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-[methyl]2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]thio]-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84210-94-6

CMF C11 H24 C1 N2 O8 P S3

CM 2

CRN-108=91-8--CMF C6 H13 N

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1982:406524 Document No. 97:6524 4-Carbamoyloxyoxazaphosphorines and pharmaceutical preparations containing them. (Asta-Werke A.-G., Fed. Rep. Ger.). Belg. BE 890276 Al 19820104, 27 pp. (French). CODEN: BEXXAL. APPLICATION: BE 1981-59345 19810909. PRIORITY: GB 1980-29222 19800910

	APPLICATION: BE PATENT NO.	KIND	9345 19810909.	PR	IORITY: GB 198 PLICATION NO.	0-29222 19800910. DATE
PI	BE 890276	A1	19820104		1981-59345	19810909
	DE 3133309	A 1	19820415	DE	1981-3133309	19810822
	DE 3133309	C2	19890727			
	AU 8174657	A1	19820318	ΑU	1981-74657	19810826
	AU 538275	B2	19840809			
	ZA 8105922	Α	19820929	ZA	1981-5922	19810826
	IL 63661	A 1	19870130	IL	1981-63661	19810826
	СН 650787	Α	19850815	CH	1981-5584	19810831
	NL 8104093		19820401	NL	1981-4093	19810903
	GB 2084154	Α	19820407	GB	1981-26785	19810904
	CS 227680	P	19840514	CS	1981-6537	19810904
	AT 8103864	Α	19871215	ΑT	1981-3864	19810907
	AT 386210		19880725			
	SE 8105340	Α	19820311	SÈ	1981-5340	19810908
	SE 458203	В	19890306			
	SE 458203		19890629			
	FR 2489825		19820312	FR	1981-16999	19810908
		B1	19841123			
	DD 202166	A 5	19830831			19810908
	HU 26864	0	19830928	HU	1981-2580	19810908
	ни 185953	В	19850428			
	RO 83972	P				19810908
	FI 8102798	Α		FI	1981-2798	19810909
	FI 70026		19860131			
	FI 70026		19860912			
	NO 8103063	Α		NO	1981-3063	19810909
	NO 161261	В	19890417			
	NO 161261	С	19890726			
	DK 8104004	Α	19820311	DK	1981-4004	19810909

	JP 57085396	7. (2	10020520		1001 11110	
	· -	A2	19820528	JΡ	1981-141108	19810909
	JP 02009599	B4	19900302			
	ES 505324	A1	19820816	ES	1981-505324	19810909
	CA 1161453	A1	19840131	CA	1981-385516	19810909
	PL 128627	B1	19840229	PL	1981-232960	19810909
	CA 1184563	В	19850326	CA	1984-455507	19840530
	BE 900370	A4	19841217	BE	1984-60475	19840817
IT	81733-22-4P 81733-	-24-61	?			20010027
	RL: SPN (Synthetic	prep	paration); PREP	(Pr	eparation)	
	(prepn. of)	- •	, ,	•	1	

RN 81733-22-4 CAPLUS

CN Urea, [[3-(2-chloroethyl)tetrahydro-2-[methyl[2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4yl]oxy]- (9CI) (CA INDEX NAME)

RN 81733-24-6 CAPLUS

CN Urea,

[[3-(2-chloroethyl)tetrahydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & C1CH_2-CH_2 \\ \parallel & O & O \\ H_2N-C-NH-O & N & M \\ \hline & NH-CH_2-CH_2-O-S-Me \\ \parallel & O & O \\ \end{array}$$

L8 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2001 ACS

1981:25230 Document No. 94:25230 Treatment of humans suffering from undesired urotoxic side effects caused by cytostatically active alkylating

agents. Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik, Fed. Rep. Ger.). U.S. US 4220660 19800902, 5 pp. (English). CODEN: USXXAM. PRIORITY: DE 1977-2756018 19771214.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	US 4220660	Α	19800902	US 1978-967000	19781206	
	DE 2756018	B 1	19790329	DE 1977-2756018	19771214	
	DE 2756018	C2	19791122			

IT 37753-10-9

RL: BIOL (Biological study)

(urotoxicity from, mercaptoalkanesulfonate salts in treatment of)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2\\ & \downarrow & \text{O}\\ & \downarrow & \text{NH}-\text{CH}_2-\text{CH}_2-\text{O}-\text{S}-\text{Me}\\ & \downarrow & \text{O}\\ & \text{O}\\ & \text{O}\\ \end{array}$$

L8 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2001 ACS

1980:471818 Document No. 93:71818 Optically active oxazaphosphorin derivatives and their preparation. Sato, Tadao (Otsuka Pharmaceutical Co., Ltd., Japan). Brit. UK Pat. Appl. GB 2021591 19791205, 11 pp. (English). CODEN: BAXXDU. PRIORITY: JP 1978-64681 19780529.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2021591	Α	19791205	GB 1979-18581	19790529
	GB 2021591	B2	19820908		
	JP 54157580	A2	19791212	JP 1978-64681	19780529
	JP 57061360	B4	19821223		•
	DE 2921057	A1	19791206	DE 1979-2921057	19790523
	DE 2921057	B2	19810115		
	DE 2921057	C3	19811126		•*
	CA 1108175	A1	19810901	CA 1979-328094	19790523
	SU 867314	A3	19810923	SU 1979-2769753	19790525
	BE 876578	A1	19791128	BE 1979-195419	19790528 :
	SE 7904595	Α	19791130	SE 1979-4595	19790528
	SE 446189	В	19860818		
	SE 446189	С	19861127		
	AU 7947477	A1	19791206	AU 1979-47477	19790528
	AU 516429	В2	19810604		
	CH 646710	Α	19841214	СН 1979-4949	19790528
	NL 7904215	Α	19791203	NL 1979-4215	19790529
	NL 183141	В	19880301		
	NL 183141	С	19880801		
	FR 2427339	A1	19791228	FR 1979-13639	19790529
	FR 2427339	В1	19840914		
	ES 481026	A1	19800201	ES 1979-481026	19790529
	US 4239709	Α	19801216	US 1979-43462	19790529

IT 73837-99-7P 74457-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and chlorination of)

RN 73837-99-7 CAPLUS

CN Ethanol, 2,2'-[{tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 74457-85-5 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2001 ACS

1980:426467 Document No. 93:26467 Oxazaphospholine derivatives. Sato, Tadao

(Otsuka Pharmaceutical Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 54157581 19791212 Showa, 10 pp. (Japanese). CODEN: JKXXAF. APPLICATION:

JP 1978-64682 19780529.

	02 20 10 01002 25 1000251						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	JP 54157581	A2	19791212	JP 1978-64682	19780529		
	JP 63000439	B4	19880107				

IT 73834-60-3P 73837-99-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 73834-60-3 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 73837-99-7 CAPLUS

CN Ethanol, 2,2'-[[tetrahydro-2-oxido-3-(1-phenylethyl)-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 33 OF 45 CAPLUS COPYRIGHT 2001 ACS

1979:557741 Document No. 91:157741 Organophosphorus ring compounds. Rinl, Hansjoerg (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften

e.V.,

Fed. Rep. Ger.). Ger. Offen. DE 2752125 19790523, 56 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1977-2752125 19771122.

	PATENT NO.	KIND	DATE		API	PLICATION NO.	DATE	
PI	DE 2752125	A1	19790523		DE	1977-2752125	19771122	
	AT 7808075	Α	19820115		AΤ	1978-8075	19781110	
	AT 368166	В	19820927					
-	EP 2062	A1	19790530	•	EΡ	1978-101389	19781117	
	EP 2062	B1	19820505					
	R: BE,	CH, FR, GB	, LU, NL, S	SE				
	DK 7805184	Α	19790523		DK	1978-5184	19781121	
	DK 168385	B1	19940321					
	JP 54081224	A2	19790628		JΡ	1978-143582	19781122	
	AT 8102677	A	19860815		AΤ	1981-2677	19810616	
	AT 382623	В	19870325					
IT	71321-36-3P	71321-37-4	P 71321-43-	-2P				
	71321-57-8P	71321-58-91	71321-67-	-0P				

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

71321-36-3 CAPLUS RN

2H-1,3,2-Oxazaphosphorine, 2-(hexadecyloxy)tetrahydro-, 2-oxide (9CI) CN

(CA

INDEX NAME)

RN 71321-37-4 CAPLUS

2H-1,3,2-Oxazaphosphorine, 2-(hexadecyloxy)tetrahydro-3-methyl-, 2-oxide CN (9CI) (CA INDEX NAME)

71321-43-2 CAPLUS RN

Hexadecanoic acid, 3-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-CN yl)oxy]propyl ester (9CI) (CA INDEX NAME)

RN 71321-57-8 CAPLUS

CN Hexadecanoic acid, 1-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]methyl]-1,2-ethanediyl ester, (1R)- (9CI) (CA INDEX NAME)

RN 71321-58-9 CAPLUS

CN Hexadecanoic acid, 2-[[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]methyl]-1,3-propanediyl ester (9CI) (CA INDEX NAME)

RN 71321-67-0 CAPLUS

CN Eicosanoic acid, 2-(phenylmethoxy)-3-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)oxy]propyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2001 ACS

1977:468492 Document No. 87:68492 Pharmaceutical cis-1,2-epoxypropylphosphonic acid derivatives. De Lassauniere, Chabrier; Nguyen Thanh Thuong; Warolin, Christian Jean Marie (Agence Nationale de Valorisation de la Recherche, Fr.; Societe d'Etudes et d'Applications Biologiques (SAB)). Ger. Offen. DE 2632136 19770224, 66 pp. (German). CODEN: GWXXBX. PRIORITY: GB 1975-29918 19750716.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2632136	A1	19770224	DE 1976-2632136	19760716
	GB 1566252	Α	19800430	GB 1975-29918	19750716
	FR 2317936	A1	19770211	FR 1976-20889	19760708

JP 52042821 A2 19770404 JP 1976-84887 19760716 US 4129660 A 19781212 US 1977-801921 19770531

IT 63581-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with propenylphosphonic acid)

RN 63581-86-2 CAPLUS

CN Methanaminium, N,N,N-trimethyl-, salt with tetrahydro-2-hydroxy-3-(1-methylethyl)-2H-1,3,2-oxazaphosphorine 2-oxide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 63581-85-1 CMF C6 H13 N O3 P

CM 2

CRN 51-92-3 CMF C4 H12 N

IT 63581-84-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 63581-84-0 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-methoxy-3-(1-methylethyl)-, 2-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2001 ACS 1977:416039 Document No. 87:16039 Ionene polymers for selectively inhibiting

the vitro growth of malignant cells. Rembaum, Alan (California Institute

of Technology, USA). U.S. US 4013507 19770322, 11 pp. (English). CODEN:

USXXAM. APPLICATION: US 1973-398376 19730918.

PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 4013507 A 19770322 US 1975-547234 19750205

IT 62766-73-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as neoplasm inhibitor)

RN 62766-73-8 CAPLUS

CN Poly[(dimethyliminio)-1,2-ethanediyl[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]-1,2-ethanediyl(dimethyliminio)-1,6-hexanediyl dichloride] (9CI) (CA INDEX NAME)

●2 C1-

L8 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2001 ACS

1976:463098 Document No. 85:63098 4-Hydroperoxytetrahydro-2H-1,3,2-oxazaphosphorin 2-oxide derivatives. Takamizawa, Akira; Iwata, Tsuoyoshi (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2552135 19760526, 14 pp. (German). CODEN: GWXXBX. PRIORITY: JP 1974-133257 19741120.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2552135	A1	19760526	DE 1975-2552135	19751120
	JP 51059886	A2	19760525	JP 1974-133257	19741120
	CA 1051914	A1	19790403	CA 1975-239381	19751107
	SE 7513007	Α	19760521	SE 1975-13007	19751119
	FR 2291763	A1	19760618	FR 1975-35387	19751119
	СН 602777	Α	19780731	CH 1975-15008	19751119
	NL 7513590	Α	19760524	NL 1975-13590	19751120
	AU 7586816	A 1	19770526	AU 1975-86816	19751120
	AU 500813	B2	19790531		

IT 60052-94-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and immunosuppressant activity of)

RN 60052-94-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-4-hydroperoxy-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]methylamino]-, 1-methanesulfonate (9CI) (CA INDEX NAME)

ANSWER 37 OF 45 CAPLUS COPYRIGHT 2001 ACS 1974:413758 Document No. 81:13758 Amidocyclothiophosphates. Jastorff, Bernd; Krebs, Traute (Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V.). Ger. Offen. DE 2247782 19740404, 27 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1972-2247782 19720929. PATENT NO. KIND DATE APPLICATION NO. DATE PΙ DE 2247782 19740404 DE 1972-2247782 19720929 A1 42029-43-6P 42133-33-5P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 42029-43-6 CAPLUS Adenosine, 5'-deoxy-5'-[(hydroxymercaptophosphinyl)octylamino]-, CNintramol.

Absolute stereochemistry.

5',3'-ester (9CI) (CA INDEX NAME)

RN 42133-33-5 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(hydroxymercaptophosphinyl)methylamino]-,
intramol. 5',3'-ester (9CI) (CA INDEX NAME)

L8 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2001 ACS

1973:505303 Document No. 79:105303 Immunosuppressive oxazaphosphorine oxides. Arnold, Herbert; Bourseauc, Friedrich; Potel, Juergen; Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik). Ger. Offen. DE 2201675

	19730719, 16 pp. (German). CODEN:							
	PATENT NO.					PLICATION NO.		
PI	DE 2201675	7.1	19730719			1972-2201675		
	CH 581145 CH 586230	Α	19761029		CH	1972-1349	19720131	
	CH 586230	Α	19770331		CH	1975-11836 1975-11835	19720131	
	CH 396231	A	19780315		CH	1975-11835	19720131	
	US 3828090	Α	19740806		US	1972-225273	19720210	
	AU 7238914		19730816			1972-38914	19720211	
	DD 94812	С	19730112			1972-160930		
		В	19751208		SE	1972-1916	19720217	
	BE 779516	A1	19720616			1972-51680		*******
	NL 7202177	Α	19720822		NL	1972-2177	19720218	•
	NL 170287	В	19820517					
	NL 170287	С	19821018					
	NL 170287 NL 170287 FR 2125595 FR 2125595	A1	19720929		FR	1972-5549	19720218	
	FR 2125595	A5	19720929					
	FR 2125595	B1	19750314					
	CA 957696	A1	19741112		CA	1972-135044	19720218	
	ES 399910	A 1	19750616		ES	1972-399910	19720218	
	HU 169427	P	19761128		HU	1972-AA698	19720218	
	DK 137013	В	19780102		DK	1972-761	19720218	
	FI 56387	В	19790928		FΙ	1972-445	19720218	
	FI 56387	С	19800110					
	JP 56020317	B4	19810513			1972-16556	19720218	•
	GB 1341170	Α	19731219			1972-7881	19720221	
	US 3910982	Α	19751007		US	1974-436173	19740124	
	JP 56097293	A2 B4	19810805		JP	1980-152998	19801101	,
	JP 58043396	B4	19830927					
	JP 56097294	A2	19810805		JP	1980-152999	19801101	
	JP 59001716	B4	19840113					
IT	40722-71-2P 40722-							
	RL: SPN (Synthetic	c prep	paration); PI	REP	(Pr	eparation)		
	(prepn. of)							
RN	40722-71-2 CAPLUS	3						

RN 40722-71-2 CAPLUS
CN 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 40722-72-3 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

IT 40722-88-1 40722-89-2

RL: RCT (Reactant)

(reaction of, with methanesulfonyl chloride)

RN ---40722-88-1 CAPLUS

CN 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-89-2 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2001 ACS

1973:111377 Document No. 78:111377 Alkylsulfonic esters of 1,3,2-oxazaphosphorinones. (Asta-Werke A.-G.). Fr. Demande FR 2125595 19721103, 31 pp. (French). CODEN: FRXXBL. PRIORITY: DE 1971-2107936 19710219.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2125595	A1	19720929	FR 1972-5549	19720218
	FR 2125595	A 5	19720929		
	FR 2125595	B1	19750314		
	DE 2107936	Α	19720907	DE 1971-2107936	19710219
	DE 2107936	В2	19771020		
	DE 2201675	A1	19730719	DE 1972-2201675	19720114
TΤ	37752-22-0D 3775	2-26-4	D 37752_27_5D		

IT 37752-22-0P 37752-26-4P 37752-27-5P

37752-30-0P 37752-31-1P 37752-32-2P

37752-35-5P 37752-36-6P 37752-38-8P

37752-39-9P 37752-40-2P 37752-41-3P

37752-42-4P 37752-43-5P 37752-44-6P

37753-09-6P 37753-10-9P 37753-12-1P

37753-15-4P 37753-16-5P 40722-39-2P

40722-40-5P 40722-71-2P 40722-72-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 37752-22-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-26-4 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-27-5 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-30-0 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-31-1 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-(9CI) (CA INDEX NAME)

RN 37752-32-2 CAPLUS

CN 1-Propanol, 3,3'-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-35-5 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-36-6 CAPLUS

CN Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-38-8 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl}amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-39-9 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-40-2 CAPLUS

CN Ethanol, 2-[(3-ethyltetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-41-3 CAPLUS

CN 1-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-42-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, 2-[bis[2-[(methylsulfonyl)oxy]ethyl]amino]dihydro-, methanesulfonate (ester), 2-oxide (9CI) (CA INDEX NAME)

RN 37752-43-5 CAPLUS

CN 2-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-44-6 CAPLUS

CN 1-Pentanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2 & \text{O} & \text{O} \\ & \text{N} & \text{P} & \text{NH}-\text{CH}_2-\text{CH}_2-\text{O}-\text{S}-\text{(CH}_2)} \text{ 4}-\text{Me} \\ & \text{O} & \text{O} & \text{O} \end{array}$$

RN 37753-09-6 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-12-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, dihydro-2-[[2-[(methylsulfonyl)oxy]ethyl]amino]-, methanesulfonate (ester), 2-oxide (9CI) (CA INDEX NAME)

RN 37753-15-4 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-16-5 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-39-2 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]ethylamino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 40722-40-5 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]ethylamino]- (9CI) (CA INDEX NAME)

RN

40722-71-2 CAPLUS 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX

RN 40722-72-3 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

IT 40722-88-1 40722-89-2

RN

RL: RCT (Reactant) (sulfonation of)

40722-88-1 CAPLUS

CN 2-Propanol, 1-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 40722-89-2 CAPLUS

CN 1-Butanol, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2001 ACS

1973:97723 Document No. 78:97723 Pharmaceutical

2-amino-4-hydroperoxy-1-oxa-

3-aza-2-phosphacyclopentane- and-cyclohexane 2-oxides. Takamizawa, Akira;

Iwata, Tsuyoshi (Shionogi and Co., Ltd.). Ger. Offen. DE 2231311
19730111, 1 pp. (German). CODEN: GWXXBX. PRIORITY: JP 1971-47045
19710628

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 2231311	A1	19730111	DE 1972-2231311	19720626
	JP 49048554	B4	19741221	JP 1971-47045	19710628
	JP 48034188	A2	19730516	JP 1971-69455	19710908
	JP 52030518	B4	19770809		
	JP 48086870	A 2	19731115	JP 1972-19161	19720224
	FR 2147956	A1	19730316	FR 1972-23230	19720627

IT 39800-24-3P 39800-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 39800-24-3 CAPLUS

CN Hydroperoxide, 3-(2-chloroethyl)-2-(diethylamino)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

RN 39800-26-5 CAPLUS

CN Hydroperoxide, 3-(2-chloroethyl)tetrahydro-2-oxido-2-(phenylamino)-2H-1,3,2-oxazaphosphorin-4-yl (9CI) (CA INDEX NAME)

L8 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2001 ACS

1972:552238 Document No. 77:152238 Tetrahydro-2H-1,3,2-oxazaphosphorine

2-oxide—derivatives. Arnold, Herbert; Bourseaux, Friedrich; Potel,
Juergen; Brock, Norbert (Asta-Werke A.-G. Chemische Fabrik). Ger. Offen.

DE 2107936 19720907, 42 pp. (German). CODEN: GWXXBX. APPLICATION: DE
1971-2107936 19710219.

PATENT NO.	36 19/10219. KIND	DATE	AP	PLICATION NO.	DATE
DE 2107936	А	19/2090/	DE	1971-2107936	19710219
DE 2107936	В2	19771020			
CH 581145	A	10761020	CH	1972-1349	19720131
CH 586230	Α	19770331	CH	1975-11836	19720131
CH 596231	A A A B B	19780315	CH	1975-11835	19720131
ZA 7200740	Α	19721025	ZA	1972-740 1972-912	19720204
AT 311381	В	19731112	AT	1972-912	19720204
AT 318652	В	19741111	ΑT	1972-11102	19720204
US 3828090	Α	19740806	US	1972-225273	19720210
AU 7238914	A1	19730816		1972-38914	
CS 167967	P	19760528	CS	1972-965	19720215
DD 94812	С	19730112	DD	1972-160930	19720217
SE 381464	В	19751208	SE	1972-1916	19720217
BE 779516	A1	19720616	BE	1972-51680	19720218
NL 7202177	A1 P C B A1 A	19720822	NL	1972-1916 1972-51680 1972-2177	19720218
NP 1/070/	D	19020317			
NL 170287	С	19821018			
FR 2125595	A1	19720929	FR	1972-5549	19720218
FR 2125595	A5 B1 A1 D	19720929			
FR 2125595	В1	19750314			
CA 957696	A1	19741112		1972-135044	
SU 453845	D	19741215	SU	1972-1749700	19720218
SU 461510	<i>T</i>	10750225	SU	1972-1920572	19720218
ES 399910	A1 P B B	19750616		1972-399910	
HU 169427	P	19761128	HU	1972-AA698	19720218
DK 137013	В	19780102	DK	1972-761	
FI 56387	В	19790928	FI	1972-445	19720218
FI 56387	С	19800110			
JP 56020317	В4	19810513	JP	1972-16556	19720218
GB 1341170	Α	19731219	GB	1972-7881	19720221
SU 518137	D	19760615	SU	1973-1920105	19730516
US 3910982	А	19751007	US	1974-436173	19740124
JP 56097293	A B A2 B B4 A2	19810805	JP	1980-152998	19801101
JP 58043396	Б В4	19830927			
JP 56097294	A2	19810805	JP	1980-152999	19801101
JP 59001716	В4	19840113			
37752-22-0P	37752-26-41	37752-27-5P			
37752-30-05	37752_31_11	37752-32-2P			

IT 37752-22-0P 37752-26-4P 37752-27-5P 37752-30-0P 37752-31-1P 37752-32-2P 37752-35-5P 37752-36-6P 37752-38-8P 37752-39-9P 37752-40-2P 37752-41-3P 37752-42-4P 37752-43-5P 37752-44-6P

37753-09-6P 37753-10-9P 37753-12-1P

37753-15-4P 37753-16-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 37752-22-0 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-

oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-26-4 CAPLUS

NAME)

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX

RN 37752-27-5 CAPLUS

CN 1-Propanol, 3-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-30-0 CAPLUS

CN 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

RN

37752-31-1 CAPLUS 1-Propanol, 3,3'-[(tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-CN yl)imino]bis- (9CI) (CA INDEX NAME)

37752-32-2 CAPLUS RN

1-Propanol, 3,3'-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]imino]bis-, dimethanesulfonate (ester) (9CI) (CA INDEX NAME)

37752-35-5 CAPLUS RN

Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-5,5-dimethyl-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

37752-36-6 CAPLUS RN

Ethanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-CN oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-38-8 CAPLUS CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-39-9 CAPLUS

CN Ethanol, 2-[[3-(2-chloroethyl)tetrahydro-6-methyl-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37752-40-2 CAPLUS

CN Ethanol, 2-[(3-ethyltetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl)amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37752-41-3 CAPLUS

CN 1-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-42-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-3(4H)-ethanol, 2-{bis[2-[(methylsulfonyl)oxy]ethyl]amino]dihydro-, methanesulfonate (ester),

2-oxide (9CI) (CA INDEX NAME)

RN 37752-43-5 CAPLUS

CN 2-Propanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37752-44-6 CAPLUS

CN 1-Pentanesulfonic acid, 2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 37753-09-6 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 37753-10-9 CAPLUS

CN Ethanol,

2-[[3-(2-chloroethyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-

2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2 & \text{O} & \text{O} \\ & \text{N} & \text{M} & \text{O} & \text{II} \\ & \text{N} & \text{P} & \text{NH}-\text{CH}_2-\text{CH}_2-\text{O}-\text{S}-\text{Me} \\ & \text{O} & \text{O} & \text{O} \\ & \text{O} & \text{O} & \text{O} \\ \end{array}$$

RN 37753-12-1 CAPLUS

RN 37753-15-4 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

RN 37753-16-5 CAPLUS

CN Ethanol,

2-[[3-(3-chloropropyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]amino]- (9CI) (CA INDEX NAME)

L8 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2001 ACS
1972:99996 Document No. 76:99996 N,O-[5'-Deoxynucleosyl-(5'-3')]cyclophosphoramidates. Murayama, Akira; Hettler, Hans; Jastorff,

Bernd (Merck Patent G.m.b.H.). Ger. Offen. DE 2032436 19720113, 18 pp. (German). CODEN: GWXXBX. APPLICATION: DE 1970-2032436 19700701.

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2032436	A	19720113	DE 1970-2032436	19700701
	GB 1300196	Α	19721220	GB 1971-1300196	19710608
	IL 37008	A1	19740910	IL 1971-37008	19710609
	FR 2100848	A1	19720324	FR 1971-23670	19710629
	FR 2100848	A5	19720324		
	AT 300834	В	19720810	AT 1971-5672	19710630
	CH 545816	Α	19740215	CH 1971-9602	19710630
	ES 392757	A 1	19740501	ES 1971-392757	19710630
	"SE 372947"	В	19750120	"SE 1971-8469	19710630
	NL 7109102	Α	19720104	NL 1971-9102	19710701
	US 3846401	A	19741105	US 1971-159001	19710701
IT	29845-61-2P 298	45-65-6	P 30765-20-91	P	
	35901-38-3P 359	01-40-7	P 35908-19-11	P	
	35908-20-4P			-1-	
	RL: SPN (Synthe (prepn. of)	tic pre	paration); PI	REP (Preparation)	
RN	29845-61-2 CAE	LUS			
CHI	Off Engage 10 0 -1	1 2 2			

CN 2H-Furo[2,3-e]-1,3,2-oxazaphosphorin-7-ol, 6-(6-amino-9H-purin-9-yl)hexahydro-2-hydroxy-, 2-oxide,

[4aR-(4a.alpha.,6.beta.,7.alpha.,7a.beta .)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 29845-65-6 CAPLUS

CN Adenosine, 5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl]methylamino]-, intramol. 5',3'-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 30765-20-9 CAPLUS

CN Adenosine,
5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl](phenylmethyl)a
 mino]-, intramol. 5',3'-ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 35901-38-3 CAPLUS

CN Thymidine, 5'-deoxy-5'-[[hydroxy(4-nitrophenoxy)phosphinyl]methylamino]-, intramol. 5',3'-ester (9CI) (CA INDEX NAME)

RN 35901-40-7 CAPLUS

CN Adenosine, 5'-deoxy-5'-[(phenylmethyl)phosphonoamino]-, intramol. 5',3'-ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

RN 35908-19-1 CAPLUS

CN Adenosine, 5'-deoxy-5'-(methylphosphonoamino)-, intramol. 5',3'-ester, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x Na

RN 35908-20-4 CAPLUS
CN Thymidine, 5'-deoxy-5'-(methylphosphonoamino)-, intramol. 5',3'-ester
(9CI) (CA INDEX NAME)

ANSWER 43 OF 45 CAPLUS COPYRIGHT 2001 ACS L8Document No. 75:48720 Salicylamide cyclic phosphates. 1971:448720 Kobayashi, Ken; Wakamori, Teruaki (Kumiai Chemical Industry Co., Ltd.). Japan. JP 46018755 B4 19710525 Showa, 3 pp. (Japanese). CODEN: JAXXAD. APPLICATION: JP 19680515. APPLICATION NO. DATE KIND DATE PATENT NO. _____ 19680515 В4 19710525 JΡ PΙ JP 46018755 ΙT 32941-85-8P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) 32941-85-8 CAPLUS RN

4H-1,3,2-Benzoxazaphosphorin-4-one, 2,3-dihydro-2-(methylthio)-, 2-oxide

(8CI) (CA INDEX NAME)

CN

L8 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2001 ACS
1971:22898 Document No. 74:22898 2-[Bis(2-chloroethyl)aminophenyl-4amino]tetrahydro-2H-1,3,2-oxazaphosphorine 2-oxide, for treatment of

malignant tumors. Sandrin, Edmond; Guttmann, Stephan (Sandoz Ltd.). Swiss CH 492738 19700814, 2 pp. (German). CODEN: SWXXAS. APPLICATION: CH 19670913.

PATENT NO. KIND DATE APPLICATION NO. DATE
PI CH 492738 A 19700630 CH 1967-492738 19670913

IT 30125-66-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 30125-66-7 CAPLUS

CN 2H-1, 3, 2-Oxazaphosphorine,

2-[p-[bis(2-chloroethyl)amino]anilino]-3,4,5,6tetrahydro-, 2-oxide (8CI) (CA INDEX NAME)

L8 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2001 ACS

1970:466728 Document No. 73:66728 .alpha.-(Phosphinylmethyl)acrylic compounds. Morita, Kenichi; Miyaji, Hiroshi (Toray Industries, Inc.). Japan. JP 45017164 B4 19700613 Showa, 5 pp. (Japanese). CODEN: JAXXAD.

APPLICATION: JP 19671117.

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 45017164 B4 19700613 JP 19671117

IT 27524-81-8P

PΙ

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 27524-81-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine-2-propionitrile, tetrahydro-.beta.,3-dimethyl-.alpha.-methylene-, 2-oxide (8CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 16:42:04 ON 16 AUG 2001)

FILE 'REGISTRY' ENTERED AT 16:42:15 ON 16 AUG 2001

L1 STRUCTURE UPLOADED

L2 50 S L1

L3 1955 S L1 SSS FULL

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STRUCTURE UPLOADED
L4
            957 S L4 SSS FULL SUB=L3
L5
            998 S L3 NOT L5
L6
     FILE 'CAPLUS' ENTERED AT 16:47:40 ON 16 AUG 2001
            370 S L6
ь7
             45 S L7 AND P/DT
1.8
=> s 17 and prodrug?
          8159 PRODRUG?
             5 L7 AND PRODRUG?
L9
=> d-1-5 cbib pi hitstr abs
     ANSWER 1 OF 5 CAPLUS COPYRIGHT 2001 ACS
              Document No. 133:213194 Novel phosphorus-containing
2000:628142
    prodrugs. Erion, Mark D.; Reddy, K. Raja; Boyer, Serge H.
     (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO 2000052015 A2
     20000908, 202 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB,
     BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE,
     GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
     LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
     SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
     BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY,
     DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE,
     SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US5672
     20000303. PRIORITY: US 1999-PV123013 19990305; US 1999-PV153127
19990908.
                      KIND DATE
                                          APPLICATION NO.
     PATENT NO.
     ______
                                           ______
                                                            20000303
    WO 2000052015
                      A2
                            20000908
                                          WO 2000-US5672
PI
    WO 2000052015
                     A3
                            20010215
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    261370-70-1P 290362-15-1P 290362-16-2P
    RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (phosphoramidate prodrugs)
RN
    261370-70-1 CAPLUS
    2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-
CN
    phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX
    NAME)
```

Relative stereochemistry.

RN 290362-15-1 CAPLUS

CN 9H-Purin=6=amine, 9-[5-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-16-2 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

IT 290362-20-8P 290362-25-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (phosphoramidate **prodrugs**)

RN 290362-20-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-(4-nitrophenoxy)-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

```
Ph
NH
NH
NO2
```

RN 290362-25-3 CAPLUS
CN 2H-1,3,2-Oxazaphosphorine,
tetrahydro-3-methyl-2-(4-nitrophenoxy)-4-phenyl, 2-oxide (9CI) (CA INDEX NAME)

1

IT 261370-68-7P 261370-69-8P 261370-71-2P 261370-73-4P 261370-74-5P 261370-76-7P 280781-83-1P 290362-12-8P 290362-13-9P 290362-14-0P 290362-17-3P 290362-18-4P 290362-19-5P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (phosphoramidate prodrugs) RN 261370-68-7 CAPLUS CN 2H-1,3,2-Oxazaphosphorine, tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, (2R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX: NAME)

Relative stereochemistry.

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 290362-12-8 CAPLUS

CN 2-Thiazolamine, 4-[5-[4-(2,4-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 290362-13-9 CAPLUS

CN Adenosine, 2',3'-dideoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-14-0 CAPLUS

CN Thymidine, 3'-azido-3'-deoxy-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-17-3 CAPLUS

CN Uridine, 2'-deoxy-5-fluoro-5'-O-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 290362-18-4 CAPLUS

CN 6H-Purin-6-one,

2-amino-1,9-dihydro-9-[[2-[(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)oxy]ethoxy]methyl]- (9CI) (CA INDEX NAME)

RN 290362-19-5 CAPLUS

CN 9H-Purin-6-amine, 9-[5-O-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Novel cyclic phosphoramidate **prodrugs** of drugs are prepd. for delivery to the liver and in enhancing oral bioavailability. An example compd. prepd. was 5-isobutyl-2-methyl-4-[2-[5-(1-phenyl-1,3-propanediyl)phosphorimido]furanyl]thiazole. Other examples include activation of phosphoramidate **prodrugs** by human microsomes and the identification and tissue distribution of the microsomal enzymes involved in activation.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2001 ACS 2000:456867 Document No. 133:84284 A combination of fructose-1,6bisphosphatase (FBPase) inhibitors and insulin sensitizers for the treatment of diabetes. Erion, Mark D.; Vanpoelje, Paul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO 2000038666 A2 20000706, 306 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). APPLICATION: WO 1999-US30713 19991222. PRIORITY: US CODEN: PIXXD2. 1998-PV114718 19981224.

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2000038666 A2 20000706 WO 1999-US30713 19991222

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 261370-76-7P 261370-79-0P 261370-80-3P

280781-78-4DP, isomers 280781-79-5DP, isomers

280781-81-9DP, isomers 280781-83-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor prepn.)

RN - 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 280781-78-4 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine,

tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-

thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 280781-79-5 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-81-9 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 280781-83-1 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

```
sensitizer are provided as well as methods for treating diabetes and
     diseases responding to increased glycemic control, an improvement in
     insulin sensitivity, a redn. in insulin levels, or an enhancement of
     insulin secretion.
     ANSWER 3 OF 5 CAPLUS COPYRIGHT 2001 ACS
              Document No. 132:222529 Preparation of heteroaromatic
2000:175817
     phosphonates as fructose 1,6-bisphosphatase inhibitors. Dang, Qun;
     Kasibhatla, Srinivas Rao; Reddy, K. Raja; Erion, Mark D.; Reddy, M. Rami;
     Agarwal, Atul (Metabasis Therapeutics, Inc., USA). PCT Int. Appl. WO
     2000014095 A1 20000316, 338 pp. DESIGNATED STATES: W: AE, AL, AM, AT,
     AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES,
     FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
     LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
     RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW,
     AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI,
     CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,
     PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO
     1999-US20346 19990903. PRIORITY: US 1998-135504 19980909; US 1998-111077
     19981207.
                                             APPLICATION NO. DATE
                       KIND DATE
     PATENT NO.
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                                        WO 1999-US20346 19990903
     WO 2000014095
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PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       A1
                                             EP 1999-954595
                                                                19990903
                            20010704
     EP 1112275
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             NO 2001-1174
                                                                20010307
     NO 2001001174
                             20010509
                       Α
     261370-67-6P 261370-68-7P 261370-69-8P
IT
     261370-70-1P 261370-71-2P 261370-72-3P
     261370-73-4P 261370-74-5P 261370-75-6P
     261370-76-7P 261370-77-8P 261370-79-0P
     261370-80-3P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (target compd.; prepn. of heteroarom. phosphonates as fructose
        1,6-bisphosphatase inhibitors via high throughput and std. synthetic
        methods)
     261370-67-6 CAPLUS
     2H-1, 3, 2-Oxazaphosphorine,
tetrahydro-2-[5-[2-methyl-5-(2-methylpropyl)-4-
     thiazolyl]-2-furanyl]-4-phenyl-, 2-oxide, monohydrochloride (9CI) (CA
```

Pharmaceutical compns. contq. an FBPase inhibitor and an insulin

AB

INDEX NAME)

· - HCl

Relative stereochemistry.

Relative stereochemistry.

RN 261370-70-1 CAPLUS
CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-71-2 CAPLUS

CN 2-Thiazolamine, 5-(2-methylpropyl)-4-[5-[(2R,4S)=tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-72-3 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 261370-73-4 CAPLUS

CN 2-Thiazolamine, 5-(methylthio)-4-[5-[(2R,4R)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-74-5 CAPLUS

CN '2-Thiazolamine, 5= (methylthio)-4-[5-[(2R,4S)-tetrahydro-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 261370-75-6 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 261370-76-7 CAPLUS

CN 2-Thiazolamine,

5-(methylthio)-4-[5-(tetrahydro-3-methyl-2-oxido-4-phenyl-2H-1,3,2-oxazaphosphorin-2-yl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 261370-77-8 CAPLUS

CN 2H-1,3,2-Oxazaphosphorine, 3-acetyl-2-[5-[2-amino-5-(methylthio)-4-thiazolyl]-2-furanyl]tetrahydro-4-phenyl-, 2-oxide (9CI) (CA INDEX NAME)

RN 261370-79-0 CAPLUS

CN 2-Thiazolamine, 4-[5-[6-(3,5-dichlorophenyl)tetrahydro-2-oxido-2H-1,3,2-oxazaphosphorin-2-yl]-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 261370-80-3 CAPLUS

CN 2-Thiazolamine, 4-[5-(1,4-dihydro-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)-2-furanyl]-5-(2-methylpropyl)- (9CI) (CA INDEX NAME)

$$_{\text{H}_2\text{N}}$$
 $_{\text{N}}$ $_{\text{PO}_3\text{H}_2}$

The title compds. R5XP(0)(YR1)2 [I; wherein X = (un)substituted (cyclic) AB linking group between R5 and P via 1-4 atoms, including 0-1 N, O, or S atoms; or X = urea or carbamate; Y = independently O or NR6; when Y = O, R1 = H, alkyl, (un) substituted (alkyl) aryl or alicyclic, C(R2) 20C(O) NR22, NR2C(0)R3, C(R2)2OC(0)R3, etc.; when Y = NR6, R1 = H, [C(R2)2]qC(0)OR3, C(R4)2C(O)OR3, [C(R2)2]qC(O)SR3, cycloalkylene-C(O)OR3, etc.; R2 = H or R3; R3 = (ar)alkyl, aryl, or alicyclic; R4 = H, alkyl, etc.; R5 = (un) substituted benzothiazolyl, benzoxazolyl, thiazolyl, (is) oxazolyl, imidazolyl, pyrazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, etc.; R6 = H, (acyloxy) alkyl, alkoxycarbonyloxyalkyl, or acyl; q = 1-2], and their prodrugs, were prepd. via high throughput and std. synthetic methods. I and their prodrugs were tested for a variety of biol. activities including inhibition of fructose 1,6-bisphosphatase (FBPase) and activity toward AMP binding enzymes, such as adenosine kinase. Compds. of the invention are useful in the treatment

of diabetes and other diseases where inhibition of gluconeogenesis, control of blood glucose levels, redn. in glycogen storage, or redn. in insulin levels is beneficial. Thus, the phosphonofuranylthiazole (II)

was

prepd. and tested for inhibition of human liver FBTase (IC50 = 0.025 .mu.M), inhibition of gluconeogenesis (IC50 = 2.5 .mu.M), and blood glucose lowering (65% i.v.).

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2001 ACS

1999:710255 Document No. 132:30271 Stereoselective metabolism of ifosfamide by human P-450S 3A4 and 2B6. Favorable metabolic properties of R-enantiomer. Roy, Partha; Tretyakov, Olga; Wright, Joel; Waxman, David J. (Division of Cell and Molecular Biology, Department of Biology, Boston University, Boston, MA, USA). Drug Metab. Dispos., 27(11), 1309-1318 (English) 1999. CODEN: DMDSAI. ISSN: 0090-9556. Publisher: American Society for Pharmacology and Experimental Therapeutics.

IT 83802-21-5 83802-22-6

RL: BAC (Biological activity or effector, except adverse); BPR (Biological

process); BSU (Biological study, unclassified); PRP (Properties); BIOL
(Biological study); PROC (Process)

(stereoselective metab. of ifosfamide by human P-450S 3A4 and 2B6)

RN 83802-21-5 CAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, 3-(2-chloroethyl)tetrahydro-, 2-oxide, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 83802-22-6 CAPLUS CN 2H-1,3,2-Oxazaphosphorin-2-amine, 3-(2-chloroethyl)tetrahydro-, 2-oxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

n

The anticancer **prodrug** ifosfamide (IFA) contains a chiral phosphorous atom and is administered clin. as a racemic mixt. of R and S enantiomers. Animal model studies and clin. data indicate enantioselective differences in cytochrome P 450 (CYP) metab., pharmacokinetics, and therapeutic efficacy between the two enantiomers; however, the metab. of individual IFA enantiomers has not been fully characterized. The role of CYP enzymes in the stereoselective metab. of R-IFA and S-IFA was investigated by monitoring the formation of both 4-hydroxy (activated) and N-dechloroethyl (DCI) (inactive, neurotoxic) metabolites. In the 4-hydroxylation reaction, cDNA-expressed CYPs 3A4

and
3A5 preferentially metabolized R-IFA, whereas CYP2B6 was more active toward S-IFA. Enantioselective IFA 4-hydroxylation (R > S) was obsd.

with
six of eight human liver samples. In the N-dechloroethylation reaction,
CYPs 3A4 and 2B6 both catalyzed a significantly higher intrinsic
metabolic

clearance (Vmax/Km) of S-IFA compared with R-IFA. Striking P 450 form specificity in the formation of individual DCI metabolites was evident. CYPS 3A4 and 3A5 preferentially produced (R)N2-DCI-IFA and (R)N3-DCI-IFA (derived from R-IFA and S-IFA, resp.), whereas CYP2B6 correspondingly formed (S)N3-DCI-IFA and (S)N2-DCI-IFA. In human liver microsomes, the CYP3A-specific inhibitor troleandomycin suppressed (R)N2- and (R)N3-DCI-IFA formation by .gtoreq.80%, whereas (S)N2- and (S)N3-DCI-IFA formation were selectively inhibited (.gtoreq.85%) by a CYP2B6-specific monoclonal antibody. The overall extent of IFA N-dechloroethylation varied with the CYP3A4 and CYP2B6 content of each liver, but was significantly lower for R-IFA (32 .+-. 13%) than for S-IFA (62 .+-. 17%,

= 8; p < .001) in all livers examd. R-IFA thus has more favorable liver metabolic properties than S-IFA with respect to less extensive N-dechloroethylation and more rapid 4-hydroxylation, indicating that R-IFA

may have a distinct clin. advantage over racemic IFA.

L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2001 ACS

- 1999:448687 Document No. 131:170553 A new cyclic phosphoramidate d4T prodrug approach cycloAmb-d4T-phosphoramidates. Lorey, Martina; Meier, Chris (Institut fur Organische Chemie, Universitat Hamburg, Hamburg, D-20146, Germany). Nucleosides Nucleotides, 18(4 & 5), 947-948 (English) 1999. CODEN: NUNUD5. ISSN: 0732-8311. Publisher: Marcel Dekker, Inc..
- IT 239136-33-5P 239136-34-6P 239136-35-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrolysis of cycloaminobenzyl dehydrodideoxythymidine phosphoramidates a new cyclic phosphoramidate **prodrug** approach for d4T)

RN 239136-33-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[((2R)-1,4-dihydro-2-oxido-2H-

3,1,2-benzoxazaphosphorin-2-yl]oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 239136-34-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[[(2S)-1,4-dihydro-2-oxido-2H-

3,1,2-benzoxazaphosphorin-2-yl]oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 239136-35-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,5S)-5-[[(1,4-dihydro-1-methyl-2-oxido-2H-3,1,2-benzoxazaphosphorin-2-yl)oxy]methyl]-2,5-dihydro-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

A new potential phosphoramidate **prodrug** approach for d4T is described. In hydrolyzes studies the cycloaminobenzyl-d4T-phosphoramidates, e.g. I (R1 = H, Me) proved to deliver d4TMP following a · AB tandem reaction.

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1999:448687 Document No. 131:170553 A new cyclic phosphoramidate d4T prodrug

approach cycloAmb-d4T-phosphoramidates. Lorey, Martina; Meier, Chris (Institut fur Organische Chemie, Universitat Hamburg, Hamburg, D-20146, Germany). Nucleosides Nucleotides, 18(4 & 5), 947-948 (English) 1999. CODEN: NUNUD5. ISSN: 0732-8311. Publisher: Marcel Dekker, Inc..

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Ι

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Ι



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No.	Doccode	Number of pages
1	LET	2
2	IDS	2
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4	A	11
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6	CLM	46
7	REM	61

Total number of pages: 11	4
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Remarks:

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